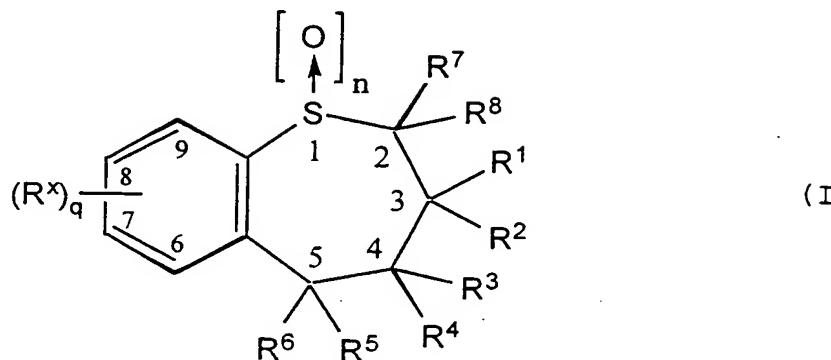


What is claimed is:

1. A compound of formula (I):

5



(I)

wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

10 R¹ and R² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹⁰R^wA⁻, SR⁹, S⁺R⁹R¹⁰A⁻, P⁺R⁹R¹⁰R¹¹A⁻, 20 S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl

25 optionally have one or more carbons replaced by O, NR⁹,

$N^+R^9R^{10}A^-$, S , SO , SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene,

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, and alkylammoniumalkyl; or

5 R^1 and R^2 taken together with the carbon to which they are attached form C_3-C_{10} cycloalkyl;

10 R^3 and R^4 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 , wherein R^9 and R^{10} are as defined above; or

15 R^3 and R^4 together form $=O$, $=NOR^{11}$, $=S$, $=NNR^{11}R^{12}$, $=NR^9$, or $=CR^{11}R^{12}$,

wherein R^{11} and R^{12} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl,

cycloalkyl, cyanoalkyl, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $CONR^9R^{10}$,

20 wherein R^9 and R^{10} are as defined above, provided that both R^3 and R^4 cannot be OH, NH_2 , and SH, or

R^{11} and R^{12} together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

25 R^5 and R^6 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR^{30} , SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl,

heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 5 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , 10 $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,

wherein:

A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

15 said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 , NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo, 20 $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$, $P^+R^7R^8R^9A^-$, and $P(O)(OR^7)OR^8$, and

25 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O , NR^7 , $N^+R^7R^8A^-$, S , SO , SO_2 , $S^+R^7A^-$, PR^7 , $P(O)R^7$, $P^+R^7R^8A^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are 30 independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, aryl,

arylalkyl, cycloalkyl, heterocycle, heteroaryl,
quaternary heterocycle, quaternary heteroaryl, and
quaternary heteroarylalkyl,

5 wherein alkyl, alkenyl, alkynyl, arylalkyl,
heterocycle, and polyalkyl optionally have one or more
carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂,
S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, P(O)R⁹, phenylene, carbohydrate,
amino acid, peptide, or polypeptide, and

10 R¹³, R¹⁴, and R¹⁵ are optionally substituted with
one or more groups selected from the group consisting
of sulfoalkyl, quaternary heterocycle, quaternary
heteroaryl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹,
SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM,
SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A-, S⁺R⁹R¹⁰A-, and
15 C(O)OM,

wherein R¹⁶ and R¹⁷ are independently selected
from the substituents constituting R⁹ and M; or

20 R¹⁴ and R¹⁵, together with the nitrogen atom to
which they are attached, form a cyclic ring; and

R³⁰ is selected from the group consisting of alkyl,
alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,
ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; and

25 R⁷ and R⁸ are independently selected from the
group consisting of hydrogen and alkyl; and

one or more R^X are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl,
polyalkyl, acyloxy, aryl, arylalkyl, halogen,
haloalkyl, cycloalkyl, heterocycle, heteroaryl,
polyether, quaternary heterocycle, quaternary

30 heteroaryl, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, S(O)₂R¹³,

SO_3R^{13} , $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, $\text{NR}^{13}\text{OR}^{14}$, $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$, NO_2 , CO_2R^{13} ,
CN, OM, SO_2OM , $\text{SO}_2\text{NR}^{13}\text{R}^{14}$, $\text{NR}^{14}\text{C(O)R}^{13}$, $\text{C(O)NR}^{13}\text{R}^{14}$,
NR¹⁴C(O)R¹³, C(O)OM, COR¹³, OR¹⁸, S(O)_nNR¹⁸, NR¹³R¹⁸,
NR¹⁸OR¹⁴, N⁺R⁹R¹¹R¹²A⁻, P⁺R⁹R¹¹R¹²A⁻, amino acid,
5 peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR⁹, NR⁹R¹⁰,
10 N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹,
CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷,
P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

15 wherein R¹⁸ is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group 20 consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₃R⁹, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, and C(O)OM,

25 wherein in RX, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more

carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻,

provided that both R⁵ and R⁶ cannot be hydrogen or SH;

provided that when R⁵ or R⁶ is phenyl, only one of R¹ or R² is H;

provided that when q = 1 and R^X is styryl, anilido, or anilinocarbonyl, only one of R⁵ or R⁶ is alkyl; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

2. A compound of claim 1, wherein R⁵ and R⁶ are independently selected from the group consisting of H, aryl, heterocycle, quaternary heterocycle, and quaternary heteroaryl,

wherein said aryl, heteroaryl, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups

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independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, 5 NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, 10 polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR⁷, N⁺R⁷R⁸A⁻, S, SO, SO₂, S⁺R⁷A⁻, PR⁷, P(O)R⁷, P⁺R⁷R⁸A⁻, or phenylene,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, 15 polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR⁷, NR⁷R⁸, SR⁷, S(O)R⁷, SO₂R⁷, SO₃R⁷, CO₂R⁷, CN, oxo, CONR⁷R⁸, N⁺R⁷R⁸R⁹A⁻, alkyl, alkenyl, alkynyl, aryl, 20 cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, P(O)R⁷R⁸, P⁺R⁷R⁸A⁻, and P(O)(OR⁷)OR⁸.

3. A compound of claim 2, wherein R⁵ or R⁶ has 25 the formula

-Ar-(R^Y)_t

wherein:

t is an integer from 0 to 5;

Ar is selected from the group consisting of phenyl, thiophenyl, pyridyl, piperazinyl, piperonyl, 5 pyrrolyl, naphthyl, furanyl, anthracenyl, quinolinyl, isoquinolinyl, quinoxalinyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, pyrimidinyl, thiazolyl, triazolyl, isothiazolyl, indolyl, benzoimidazolyl, benzoxazolyl, benzothiazolyl, and benzoisothiazolyl; and

10 one or more R^Y are independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, 15 OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻,

20 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR⁷, NR⁷R⁸, SR⁷, S(O)R⁷, SO₂R⁷, SO₃R⁷, CO₂R⁷, CN, oxo, CONR⁷R⁸, N⁺R⁷R⁸R⁹A⁻, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary 25 heterocycle, quaternary heteroaryl, P(O)R⁷R⁸, P⁺R⁷R⁸A⁻, and P(O)(OR⁷)OR⁸, and

30 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR⁷, N⁺R⁷R⁸A⁻, S, SO, SO₂, S⁺R⁷A⁻, PR⁷, P(O)R⁷,

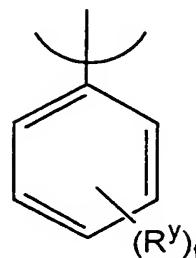
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 $P^+ R^7 R^8 A^-$, or phenylene.

4. A compound of claim 3, wherein R^5 or R^6 has the formula (II)

5



(II)

5. A compound of claim 4, wherein n is 1 or 2.

10

6. A compound of claim 5, wherein one of R^7 or R^8 is H and the other of R^7 or R^8 is alkyl.

15

7. A compound of claim 5, wherein both R^7 and R^8 are H.

8. A compound of claim 7, wherein R^1 and R^2 are independently selected from the group consisting of H and alkyl.

20

9. A compound of claim 8, wherein said alkyl is a C₁-C₁₀ alkyl.

25

10. A compound of claim 8, wherein R^1 and R^2 are both alkyl.

11. A compound of claim 10, wherein said alkyl is a C₁-C₁₀ alkyl.

12. A compound of claim 11, wherein said alkyl is
a C₂-C₇ alkyl.

5 13. A compound of claim 12, wherein said alkyl is
a C₂-C₄ alkyl.

10 14. A compound of claim 13, wherein said alkyl is
independently selected from the group consisting of
ethyl, n-propyl, n-butyl, and isobutyl.

15. A compound of claim 8, wherein R¹ and R² are
each n-butyl.

15 16. A compound of claim 8, wherein one of R¹ and
R² is ethyl and the other of R¹ and R² is n-butyl.

20 17. A compound of claim 15, wherein q is 1, 2, or
3.

18. A compound of claim 16, wherein q is 1, 2, or
3.

25 19. A compound of claim 17, wherein q is 1 or 2.

20. A compound of claim 19, wherein q is 1.

21. A compound of claim 18, wherein q is 1 or 2.

30

22. A compound of claim 21, wherein q is 1.

23. A compound of claim 19, wherein R³ and R⁴ are
independently selected from the group consisting of H

and OR⁹.

24. A compound of claim 21, wherein R³ and R⁴ are independently selected from the group consisting of H and OR⁹.

5

25. A compound of claim 23, wherein R⁹ is H.

26. A compound of claim 24, wherein R⁹ is H.

10

27. A compound of claim 25, wherein one or more R^X are in the 7-, 8-, or 9-position of the benzo ring of formula (I).

15

28. A compound of claim 26, wherein said R^X is in the 7-, 8-, or 9-position of the benzo ring of formula (I).

20

29. A compound of claim 27, wherein said R^X are in the 7- and 9-positions of the benzo ring of formula (I).

30. A compound of claim 28, wherein said R^X is in the 7-position of the benzo ring of formula (I).

25

31. A compound of claim 29, wherein said one or more R^X are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³, S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³,

30

wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰ 5 SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, 15 S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹.

32. A compound of claim 30, wherein said R^X is selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, 20 halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³, S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³,

wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰ 25 SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻,

PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A-, phenylene, amino acid peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

5 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂, S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, or P(O)R⁹.

10 33. A compound of claim 31, wherein said one or more Rx are independently selected from the group consisting of polyether, OR¹³, NR¹³R¹⁴, and N⁺R⁹R¹¹R¹²A-.

15 34. A compound of the claim 32, wherein said R^X is selected from the group consisting of polyether, OR¹³, NR¹³R¹⁴, and N⁺R⁹R¹¹R¹²A-.

20 35. A compound of claim 33, wherein said one or more Rx are independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

25 36. A compound of claim 34, wherein said R^X is independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

37. A compound of claim 35, wherein R¹³ and R¹⁴ each methyl.

30 38. A compound of the claim 36, wherein R¹³ and R¹⁴ each methyl.

39. A compound of claim 31, wherein one or more R^Y are independently in the 3- or the 4-position of the phenyl ring of formula (II).

5

40. A compound of claim 32, wherein one or more R^Y are independently in the 3- or the 4- position of the phenyl ring of formula (II).

10

41. A compound of claim 39, wherein t is 1 or 2.

42. A compound of claim 40, wherein t is 1 or 2.

15

43. A compound of claim 41, wherein said one or more R^Y are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, $NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, and OR^{13} , wherein alkyl and polyether can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

20

44. A compound of claim 42, wherein said R^Y is independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, $NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, and OR^{13} , wherein alkyl and polyether can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

25

45. A compound of claim 43, wherein said one or

30

more R^Y are independently selected from the group consisting of alkyl, polyether, fluoride, $NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, and OR^{13} ,

wherein alkyl and polyether can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

46. A compound of claim 44 wherein said R^Y is independently selected from the group consisting of alkyl, polyether, fluoride, $NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, and OR^{13} ,

wherein alkyl and polyether can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

47. A compound of claim 45, wherein said R^{13} and R^{14} are alkyl,
wherein alkyl can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

48. A compound of claim 46, wherein said R^9 and R^{10} are alkyl,

wherein alkyl can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

49. A compound of claim 47, wherein n is 2.

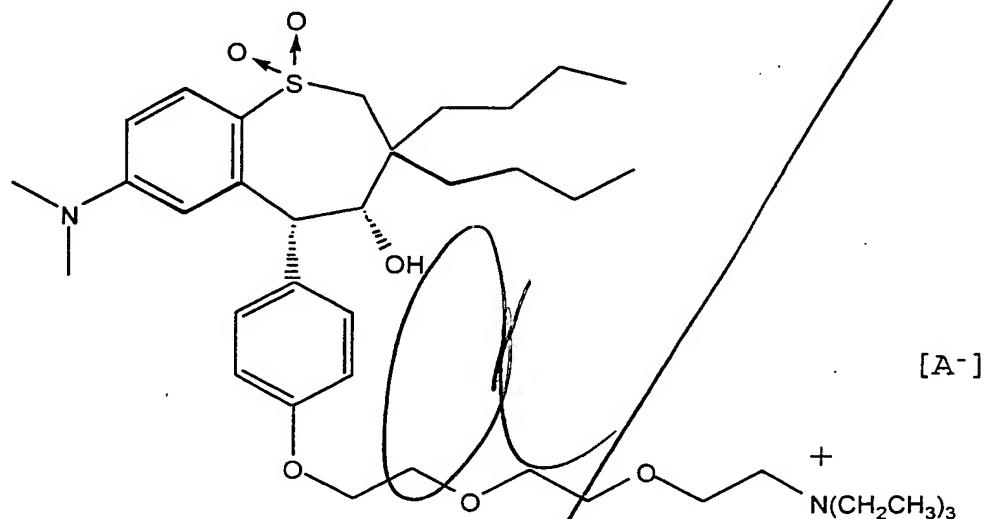
50. A compound of claim 48, wherein n is 2.

51. A compound of claim 49, wherein said OH group is in a syn relationship to said structure of formula (II).

52. A compound of claim 50, wherein said OH group is in a *syn* relationship to said structure of formula (II).

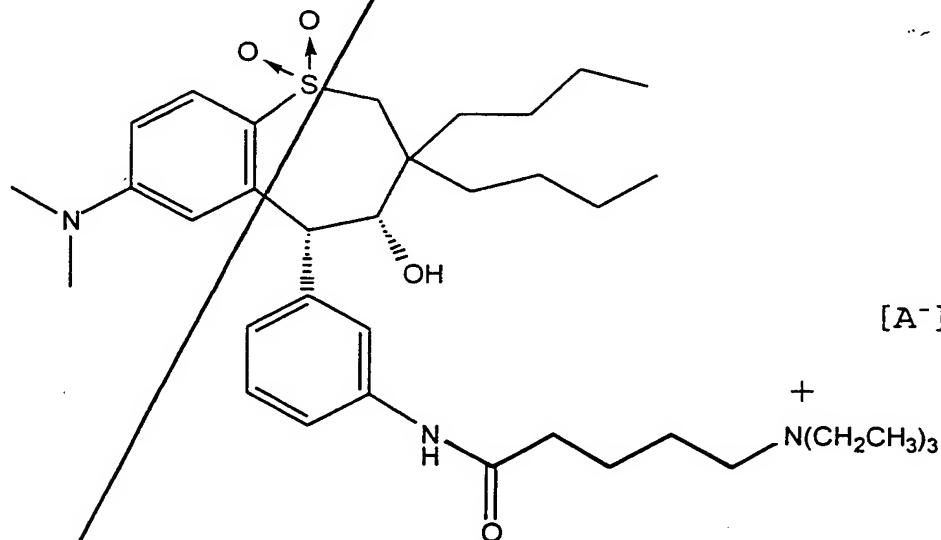
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53. A compound of claim 51, having the formula:



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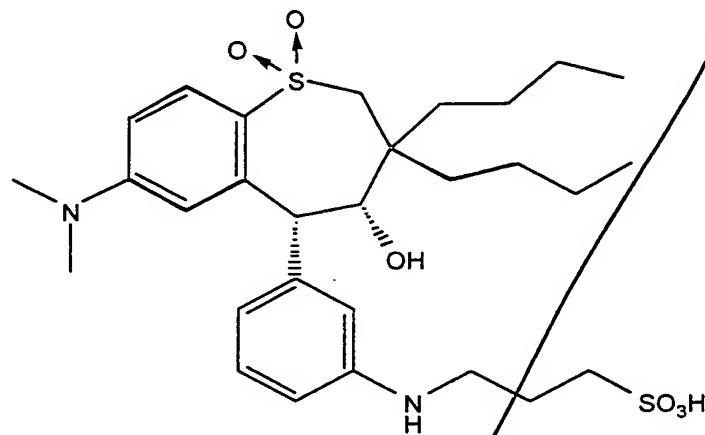
54. A compound of claim 51, having the formula:



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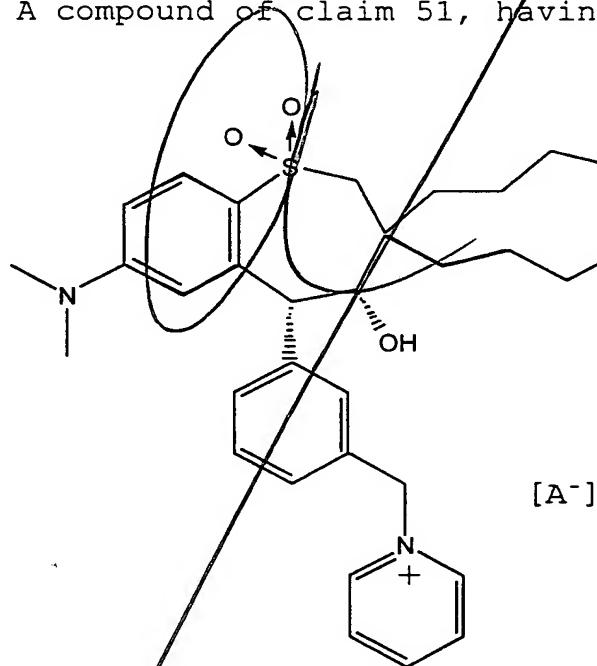
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55. A compound of claim 51, having the formula:



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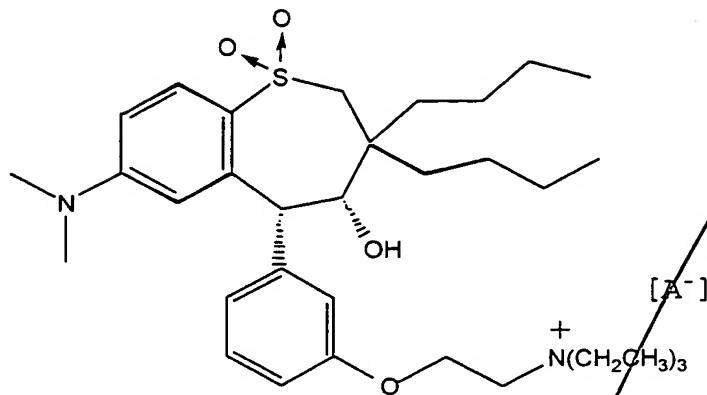
56. A compound of claim 51, having the formula:



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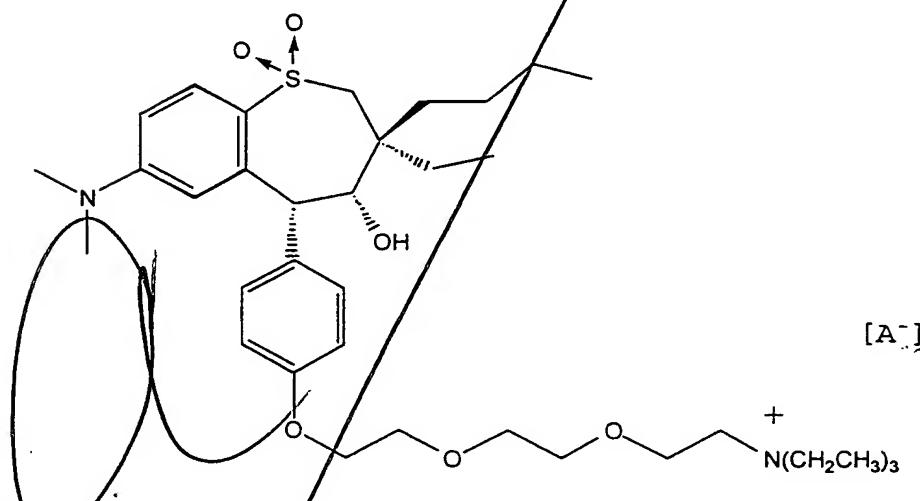
541

57. A compound of claim 51, having the formula:



5

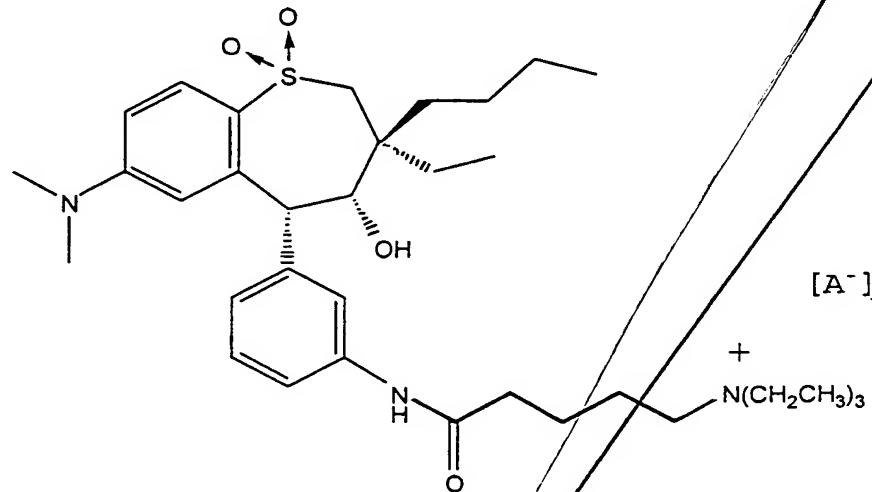
58. A compound of claim 52, having the formula:



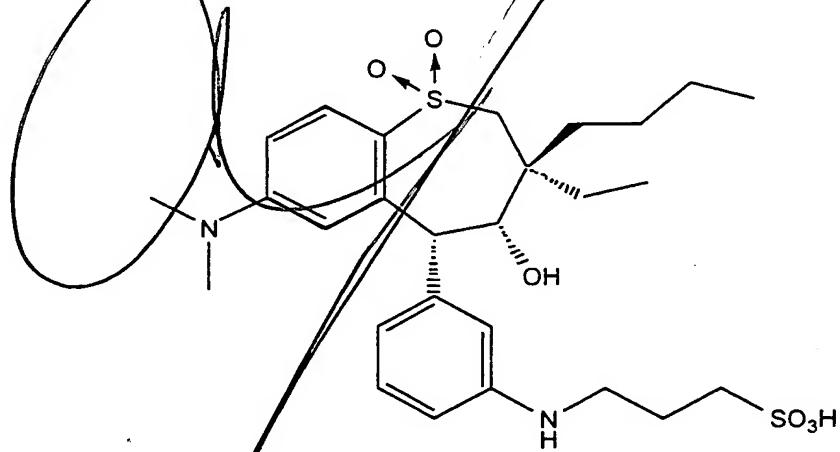
SRL 6071
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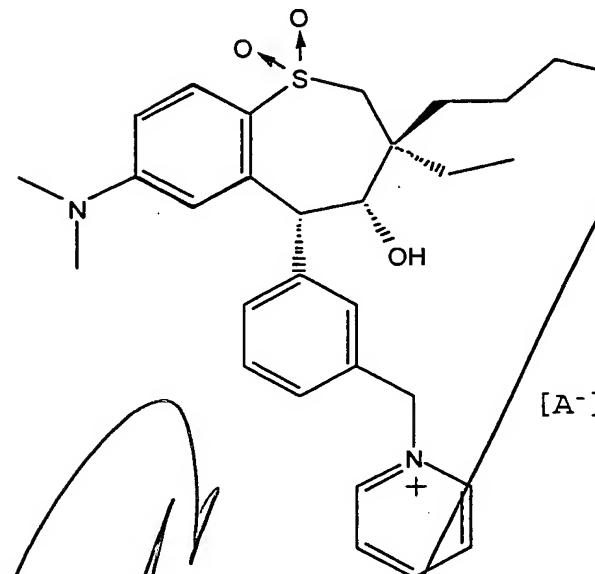
59. A compound of claim 52, having the formula:



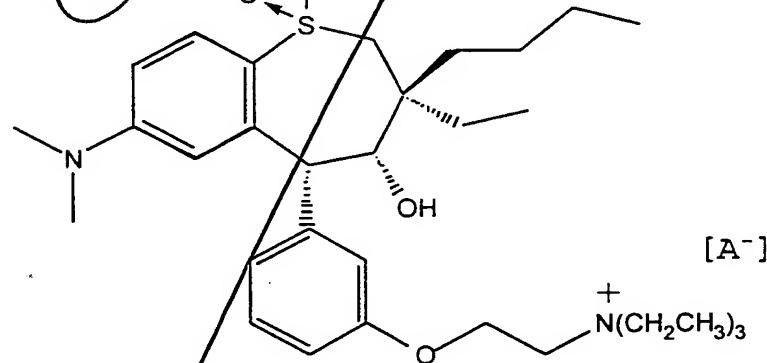
50. A compound of claim 52, having the formula:



61. A compound of claim 52, having the formula:



5 62. A compound of claim 52, having the formula:

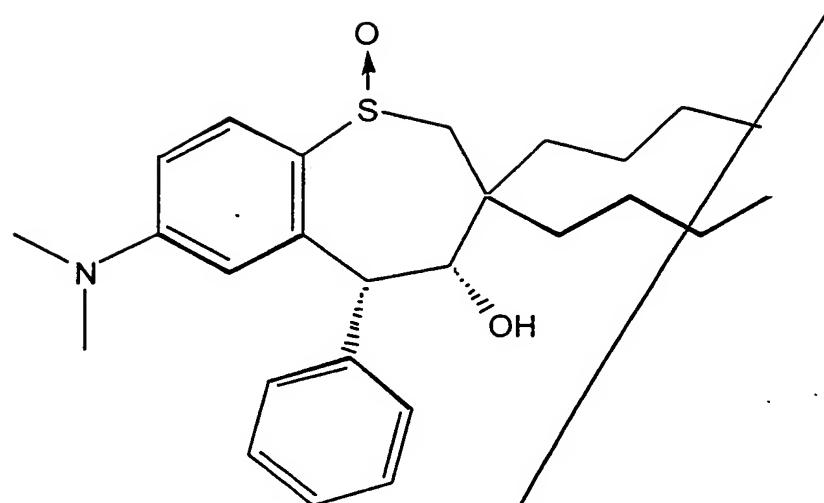


63. A compound of claim 31, wherein n is 1.

10

64. A compound of claim 63, wherein R^y is H.

65. A compound of claim 64, having the formula



66. A compound of claim 4, wherein R¹ and R² are independently selected from the group consisting of H and alkyl.

67. A compound of claim 66, wherein said alkyl is C₁-C₁₀ alkyl.

68. A compound of claim 67, wherein said alkyl is C₂-C₄ alkyl.

69. A compound of claim 68, wherein said alkyl is C₂-C₄ alkyl.

70. A compound of claim 69, wherein R¹ and R² are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

71. A compound of claim 4, wherein R³ and R⁴ are independently selected from the group consisting of H and OR⁹.

72. A compound of claim 71, wherein R⁹ is H.

73. A compound of claim 4, wherein n is 2.

5 74. A compound of claim 3, wherein R³ and R⁴ are independently selected from the group consisting of H and OR⁹.

75. A compound of claim 74, wherein R⁹ is H.

10 76. A compound of claim 3, wherein one of R⁷ or R⁸ is H.

15 77. A compound of claim 76, wherein both R⁷ and R⁸ are H.

20 78. A compound of claim 3, wherein said one or more R^X are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³, S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³,

25 wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰ SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

30 wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid,

peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹.

79. A compound of claim 78, wherein said one or more R^x are independently selected from the group consisting of polyether, OR¹³, NR¹³R¹⁴, and N⁺R⁹R¹¹R¹²A⁻.

80. A compound of claim 79, wherein said one or more R^x are independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

81. A compound of claim 80, wherein R¹³ and R¹⁴ are each methyl.

82. A compound of claim 3, wherein one or more R^y are independently in the 3- or the 4-position of the phenyl ring of formula (II).

83. A compound of claim 82, wherein one or more R^y is selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, NR⁹R¹⁰, and NC(O)R⁹,

wherein alkyl and polyether can be substituted with SO₃R⁹, N⁺R⁹R¹¹R¹²A⁻, and quaternary heteroaryl.

84. A compound of claim 83, wherein R⁹ and R¹⁰

are alkyl.

85. A compound of claim 84, wherein one or more R^Y is selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, NR⁹R¹⁰, and NC(O)R⁹.

86. A compound of claim 1, wherein said one or more R^X are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³, S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³,

wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹.

87. A compound of claim 1, wherein n is 1 or 2.

88. A compound of claim 87, wherein n is 2.

5 89. A compound of claim 1, wherein R¹ and R² are independently selected from the group consisting of H and alkyl.

10 90. A compound of claim 89, wherein said alkyl is C₁-C₁₀ alkyl.

15 91. A compound of claim 90, wherein said alkyl is C₂-C₇ alkyl.

92. A compound of claim 91, wherein said alkyl is C₂-C₄ alkyl.

20 93. A compound of claim 92, wherein R¹ and R² are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

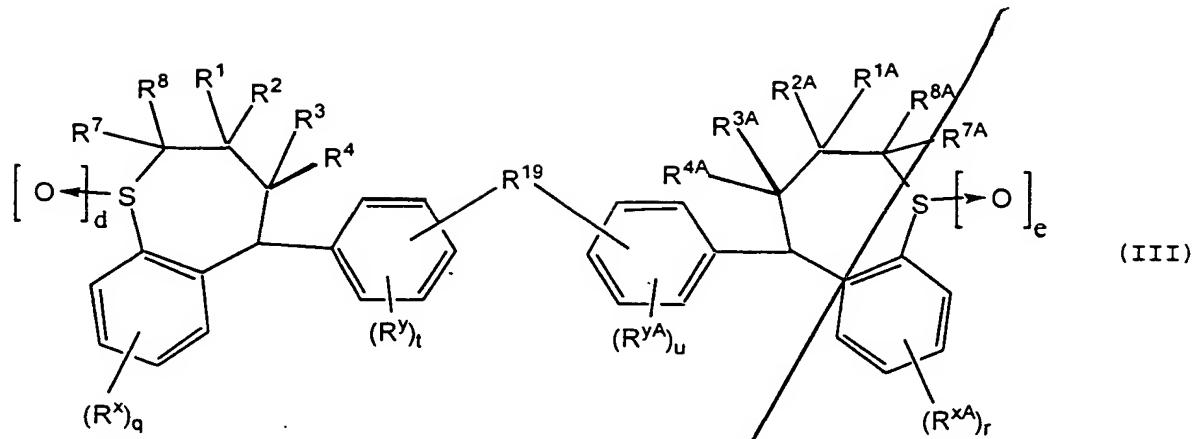
25 94. A compound of claim 1, wherein R³ and R⁴ are independently selected from the group consisting of H and OR⁹.

95. A compound of claim 94, wherein R⁹ is H.

30 96. A compound of claim 1, wherein one of R⁷ or R⁸ is H.

97. A compound of claim 96, wherein both R⁷ and R⁸ are H.

98. A compound of the formula (III)



(III)

wherein :

q and r are independently integers from 0 to 4;

d and e are independently integers from 0 to 2;

t and u are independently integers from 0 to 4;

5 R¹, R^{1A}, R², and R^{2A} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

10 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more

15 substituent selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹⁰W⁻, SR⁹, S⁺R⁹A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, polyalkyl, aryl, and cycloalkyl

25 optionally have one or more carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, P⁺R⁹R¹⁰A⁻, or phenylene,

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; or

R¹ and R² taken together with the carbon to which they are attached form C₃-C₁₀ cycloalkylidene, or

R^{1A} and R^{2A} taken together with the carbon to which they are attached form C₃-C₁₀ cycloalkylidene;

5 R³, R^{3A}, R⁴, and R^{4A} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹, wherein R⁹ and R¹⁰ are as defined above; or

10 R³ and R⁴ together form =O, =NOR¹¹, =S, =NNR¹¹R¹², =NR⁹, or =CR¹¹R¹², or

R^{3A} and R^{4A} together form =O, =NOR¹¹, =S, =NNR¹¹R¹², =NR⁹, or =CR¹¹R¹²,

15 wherein R¹¹ and R¹² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

20 wherein R⁹ and R¹⁰ are as defined above, provided that both R³ and R⁴ cannot be OH, NH₂, and SH, or

R¹¹ and R¹² together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

25 wherein A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation;

R⁷, R^{7A}, R⁸, and R^{8A} are independently selected from the group consisting of hydrogen and alkyl; and

one or more R^X and R^{X^A} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen,

haloalkyl, cycloalkyl, heterocycle, heterocycle,
polyether, quaternary heterocycle, quaternary
heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)_2R^{13}$,
 SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} ,
5 CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$,
 $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$,
 $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$, $P^+R^9R^{11}R^{12}A^-$, amino acid,
peptide, polypeptide, and carbohydrate,
10 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl,
polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl,
polyether, quaternary heterocycle, and quaternary
heteroaryl can be further substituted with OR^9 , NR^9R^{10} ,
 $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 ,
 CN , halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$,
15 $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and
wherein R^{18} is selected from the group consisting
of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,
heterocycle, alkyl,
20 wherein acyl, arylalkoxycarbonyl, arylalkyl,
heterocycle, heterocycle, alkyl quaternary heterocycle,
and quaternary heteroaryl optionally are substituted
with one or more substituent selected from the group
consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$,
 SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $CONR^9R^{10}$, SO_3R^9 ,
25 SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,
wherein in R^* and R^{*A} , one or more carbons are
optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 ,
 $S^+R^{13}A^-$, PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino
acid, peptide, polypeptide, carbohydrate, polyether, or

polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂, S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, or P(O)R⁹;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, acyl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, O(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A-, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A-, and N⁺R⁹R¹¹R¹²A-;

R¹⁹ is selected from the group consisting of alkane diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy diyl, polyether diyl, polyalkoxy diyl, carbohydrate, amino acid, and peptide, polypeptide, wherein alkane diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy diyl, polyether diyl, polyalkoxy diyl, carbohydrate, amino acid, and peptide/polypeptide, can optionally have one or more carbon replaced by O, NR⁷, N⁺R⁷R⁸, S, SO, SO², S⁺R⁷R⁸, PR⁷, P⁺R⁷R⁸, phenylene, heterocycle, quaternary heterocycle, quaternary heteroaryl, or aryl,

wherein alkane diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy diyl, polyether diyl, polyalkoxy diyl, carbohydrate, amino acid, peptide, and polypeptide can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle,

arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$,
 SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN ,
OM, SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} ,
 $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and
5 $N^+R^9R^{11}R^{12}A^-$;

wherein one or more R^y and R^{yA} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR^9 , SR^9 , $S(O)R^9$, SO_2R^9 , and
10 SO_3R^9 ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, and heterocycle can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl,
15 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM, SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and
20 $N^+R^9R^{11}R^{12}A^-$,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 ,
25 NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo, $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$, $P^+R^7R^8A^-$, and $P(O)(OR^7)OR^8$, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can optionally have one or more carbons replaced by O,
NR⁷, N⁺R⁷R⁸A-, S, SO, SO₂, S⁺R⁷A-, PR⁷, P(O)R⁷,
5 P⁺R⁷R⁸A-, or phenylene.

99. A compound of claim 98, wherein R¹, R^{1A}, R²,
and R^{2A} are independently selected from the group
consisting of H and alkyl.

100. A compound of claim 99, wherein R¹, R^{1A}, R²,
and R^{2A} are independently selected from the group
consisting of H and C₁-C₁₀ alkyl.

101. A compound of claim 100, wherein said alkyl
is a C₂-C₇ alkyl.

102. A compound of claim 101, wherein R¹, R^{1A}, R²,
and R^{2A} are independently C₂-C₄ alkyl.

103. A compound of claim 102, wherein R¹, R^{1A}, R²,
and R^{2A} are independently selected from the group
consisting of ethyl, n-propyl, n-butyl, and isobutyl.

104. A compound of claim 98, wherein R³, R^{3A}, R⁴,
and R^{4A} are independently selected from the group
consisting of H and OR⁹.

105. A compound of claim 104, wherein R⁹ is H.

106. A compound of claim 98, wherein R⁷, R^{7A}, R⁸,
and R^{8A} are H.

107. A compound of claim 98, wherein d and e are

independently 1 or 2.

108. A compound of claim 107, wherein d and e are both 2.

5

109. A compound of claim 98, wherein one or more R^X and one or more R^{YA} are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR^{13} , $NR^{13}R^{14}$, $NR^{13}NR^{14}R^{15}$, $N^+R^9R^{11}R^{12}A^-$, SR^{13} , $S^+R^{13}R^{14}$, CO_2R^{13} , $NR^{14}C(O)R^{13}$, and $NR^{14}C(O)R^{13}$, wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(O R^{16})OR^{17}$, $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and wherein in R^X , one or more carbons are optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$, PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, or $P(O)R^9$.

30

110. A compound of claim 98, wherein one or more R^Y and one or more R^{YA} are independently selected from the group consisting of alkyl, polyether, fluoride,

chloride, bromide, iodide, $\text{NR}^{13}\text{R}^{14}$, $\text{NR}^{14}\text{C(O)R}^{13}$, and OR^{13} ,

wherein alkyl and polyether can be further substituted with SO_3R^9 , $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, and quaternary heteroaryl.

111. A compound of claim 98, wherein R^{19} is selected from the group consisting of alkane diyl, polyalkane diyl, alkoxy diyl, and polyalkoxy diyl, wherein alkane diyl and polyalkane diyl can optionally have one or more carbon replaced by O, NR₇, N+R₇R₈, S, SO, SO₂, S+R₇R₈, PR₇, P+R₇R₈, or phenylene.

112. A compound of claim 111, wherein R^{19} is selected from the group consisting of alkoxy diyl and polyalkoxydiyl wherein one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰, S, SO, SO₂, S⁺R⁹R¹⁰, PR⁹, P⁺R⁹R¹⁰, phenylene, amino acid, peptide, polypeptide, carbohydrate, or polyalkyl.

113. A compound of claim 112, wherein R¹, R^{1A}, R², and R^{2A} are independently selected from the group consisting of H and alkyl.

114. A compound of claim 113, wherein R³, R^{3A}, R⁴, and R^{4A} are independently selected from the group consisting of H and OR⁹.

115. A compound of claim 114, wherein R⁹ is H.

116. A compound of claim 115, wherein R⁷, R^{7A}, R⁸, and R^{8A} are each H.

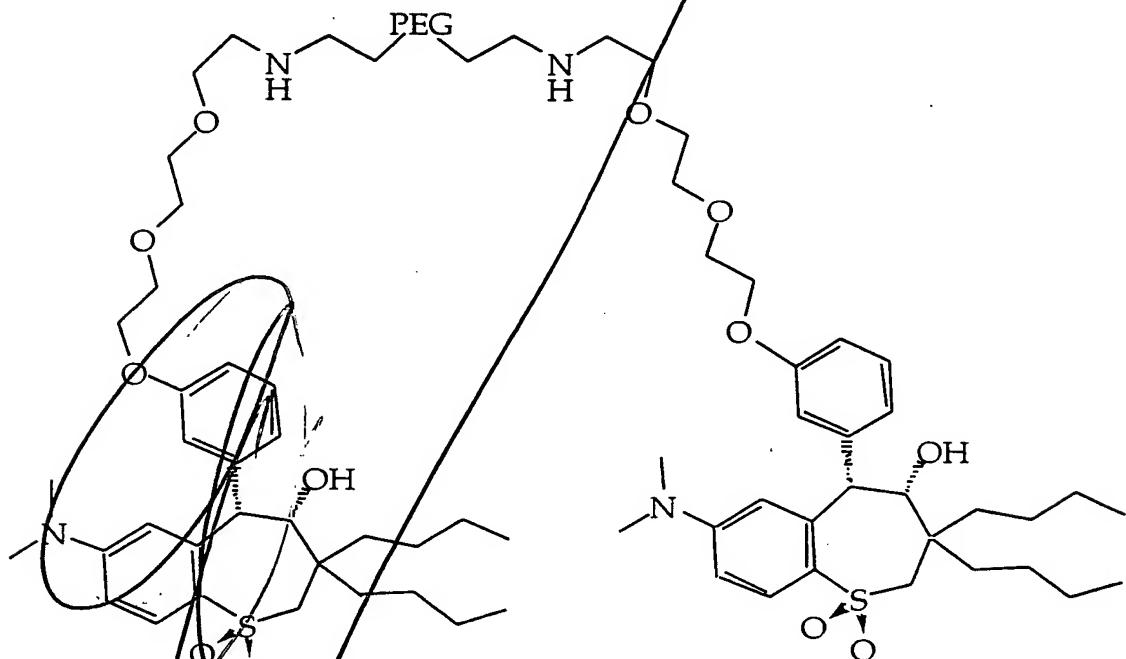
117. A compound of claim 116, wherein d and e are independently 1 or 2.

118. A compound of claim 117, wherein one or more R^X and one or more R^{yA} are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³, S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³,
5 wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, O⁺, CO₂R⁹, CN, halogen, CONR⁹R¹⁰ SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or
10 C(O)OM, and
15 wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and
20 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹.
25
119. A compound of claim 118, wherein one or more R^y and one or more R^{yA} are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, NR¹³R¹⁴, NR¹⁴C(O)R¹³, and
30 OR¹³,

wherein alkyl and polyether can be further substituted with SO_3R^9 , $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, and quaternary heteroaryl.

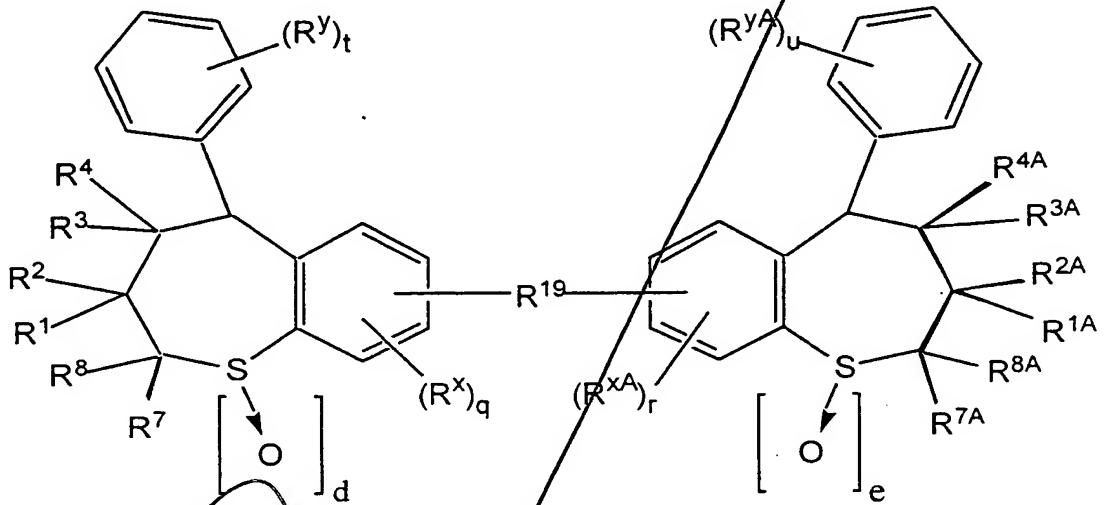
5

120. A compound of claim 119, having the formula:



PEG = 3400 molecular weight polyethylene glycol polymer chain

121. A compound of the formula (IV)



5 wherein :

q and r are independently integers from 0 to 3;

d and e are independently integers from 0 to 2;

t and u are independently integers from 0 to 5;

R¹, R^{1A}, R², and R^{2A} are independently selected from

10 the group consisting of H, alkyl, alkenyl, alkynyl,
haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl,
dialkylamino, alkylthio, (polyalkyl)aryl, and
cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl,
alkylaryl, arylalkyl, alkoxy, alkoxyalkyl,
dialkylamino, alkylthio, (polyalkyl)aryl, and
cycloalkyl optionally are substituted with one or more
substituent selected from the group consisting of OR⁹,
NR⁹R¹⁰, N⁺R⁹R¹⁰R¹¹A⁻, SR⁹, S⁺R⁹A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹,
20 SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,
wherein alkyl, alkenyl, alkynyl, alkylaryl,
alkoxy, alkoxyalkyl, polyalkyl, aryl, and cycloalkyl
optionally have one or more carbons replaced by O, NR⁹,

$N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene,

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; or

5 R^1 and R^2 taken together with the carbon to which they are attached form C_3-C_{10} cycloalkylidene, or

R^{1A} and R^{2A} taken together with the carbon to which they are attached form C_3-C_{10} cycloalkylidene;

10 R^3 , R^{3A} , R^4 , and R^{4A} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 , wherein R^9 and R^{10} are as defined above; or

15 R^3 and R^4 together form =O, =NOR¹¹, =S, =NNR¹¹R¹², =NR⁹, or =CR¹¹R¹², or

R^{3A} and R^{4A} together form =O, =NOR¹¹, =S, =NNR¹¹R¹², =NR⁹, or =CR¹¹R¹²,

20 wherein R^{11} and R^{12} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $CONR^9R^{10}$,

25 wherein R^9 and R^{10} are as defined above, provided that both R^3 and R^4 cannot be OH, NH₂, and SH, or

R^{11} and R^{12} together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

30 wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation;

R⁷, R^{7A}, R⁸, and R^{8A} are independently selected from the group consisting of hydrogen and alkyl; and one or more R^X and R^{Xa} are independently selected from the group consisting of H, alkyl, alkenyl, 5 alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heterocycle, polyether, quaternary heterocycle, quaternary heteroaryl, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, S(O)₂R¹³, SO₃R¹³, S⁺R¹³R¹⁴A-, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, 10 CN, OM, SO₂OM, SO₂NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)OM, COR¹³, OR¹⁸, S(O)_nNR¹⁸, NR¹³R¹⁸, NR¹⁸OR¹⁴, N⁺R⁹R¹¹R¹²A-, P⁺R⁹R¹¹R¹²A-, amino acid, peptide, polypeptide, and carbohydrate, 15 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, 20 CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A-, S⁺R⁹R¹⁰A-, or C(O)OM, and 25 wherein R¹⁸ is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl, wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituent selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₃R⁹,

SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, and C(O)OM,
wherein in R^x and R^{x^a}, one or more carbons are
optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A-, S, SO, SO₂,
S⁺R¹³A-, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A-, phenylene, amino
acid, peptide, polypeptide, carbohydrate, polyether, or
polyalkyl,

5 wherein in said polyalkyl, phenylene, amino acid,
peptide, polypeptide, and carbohydrate, one or more
carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A-,
10 S, SO, SO₂, S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, or P(O)R⁹;

wherein quaternary heterocycle and quaternary
heteroaryl are optionally substituted with one or more
groups selected from the group consisting of alkyl,
15 alkenyl, alkynyl, polyalkyl, polyether, aryl,
haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen,
oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³,
NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM,
SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴,
P⁺R¹³R¹⁴R¹⁵A-, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A-, and N⁺R⁹R¹¹R¹²A-.

20 R¹⁹ is selected from the group consisting of alkane
diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy
diyl, polyether diyl, polyalkoxy diyl, carbohydrate,
amino acid, and peptide, polypeptide, wherein alkane
diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy
25 diyl, polyether diyl, polyalkoxy diyl, carbohydrate,
amino acid, and peptide polypeptide, can optionally
have one or more carbon replaced by O, NR⁷, N⁺R⁷R⁸, S,
SO, SO², S⁺R⁷R⁸, PR⁷, P⁺R⁷R⁸, phenylene, heterocycle,
quaternary heterocycle, quaternary heteroaryl, or aryl,

30 wherein alkane diyl, alkene diyl, alkyne diyl,
polyalkane diyl, alkoxy diyl, polyether diyl,

polyalkoxy diyl, carbohydrate, amino acid, peptide, and polypeptide can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 5 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and 10 $N^+R^9R^{11}R^{12}A^-$;

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 , 15 NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo, $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$, $P^+R^7R^8A^-$, and $P(O)(OR^7)OR^8$, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, 20 NR^7 , $N^+R^7R^8A^-$, S, SO, SO_2 , $S^+R^7A^-$, PR^7 , $P(O)R^7$, $P^+R^7R^8A^-$, or phenylene.

25 122. A compound of claim 121, wherein R^1 , R^{1A} , R^2 , and R^{2A} are independently selected from the group consisting of H and alkyl.

30 123. A compound of claim 122, wherein R^1 , R^{1A} , R^2 , and R^{2A} are independently selected from the group

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consisting of H and C₁-C₁₀ alkyl.

124. A compound of claim 123, wherein said alkyl is a C₂-C₄ alkyl.

5

125. A compound of claim 124, wherein R¹, R^{1A}, R², and R^{2A} are independently C₂-C₄ alkyl.

10

126. A compound of claim 125, wherein R¹, R^{1A}, R², and R^{2A} are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

15

127. A compound of claim 125, wherein R³, R^{3A}, R⁴, and R^{4A} are independently selected from the group consisting of H and OR⁹.

128. A compound of claim 127, wherein R⁹ is H.

20

129. A compound of claim 121, wherein R⁷, R^{7A}, R⁸, and R^{8A} are H.

25

130. A compound of claim 121, wherein d and e are independently 1 or 2.

131. A compound of claim 130, wherein d and e are both 2.

30

132. A compound of claim 121, wherein one or more R^X and one or more R^XA are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³, S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³, wherein alkyl, aryl, cycloalkyl, heterocycle,

polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰ SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl, and

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹.

133. A compound of claim 121, wherein one or more R^Y and one or more R^{Y^A} are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, NR¹³R¹⁴, NR¹⁴C(O)R¹³, and OR¹³,

wherein alkyl and polyether can be further substituted with SO₃R⁹, N⁺R⁹R¹¹R¹²A⁻, and quaternary heteroaryl.

134. A compound of claim 121, wherein R¹⁹ is selected from the group consisting of alkane diyl, polyalkane diyl, alkoxy diyl, and polyalkoxy diyl, wherein alkane diyl and polyalkane diyl can optionally have one or more carbon replaced by O, NR₇, N+R₇R₈, S, SO, SO₂, S+R₇R₈, PR₇, P+R₇R₈, or phenylene.

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135. A compound of claim 134, wherein R^{19} is selected from the group consisting of alkoxy diyl and polyalkoxydiyl wherein one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}$, S, SO, SO_2 , $S^+R^9R^{10}$, PR^9 , $P^+R^9R^{10}$, phenylene, amino acid, peptide, polypeptide, carbohydrate, or polyalkyl.

5 136. A compound of claim 135, wherein R^1 , R^{1A} , R^2 , and R^{2A} are independently selected from the group
10 consisting of H and alkyl.

137. A compound of claim 136, wherein R^3 , R^{3A} , R^4 , and R^{4A} are independently selected from the group consisting of H and OR^9 .

15 138. A compound of claim 137, wherein R^9 is H.

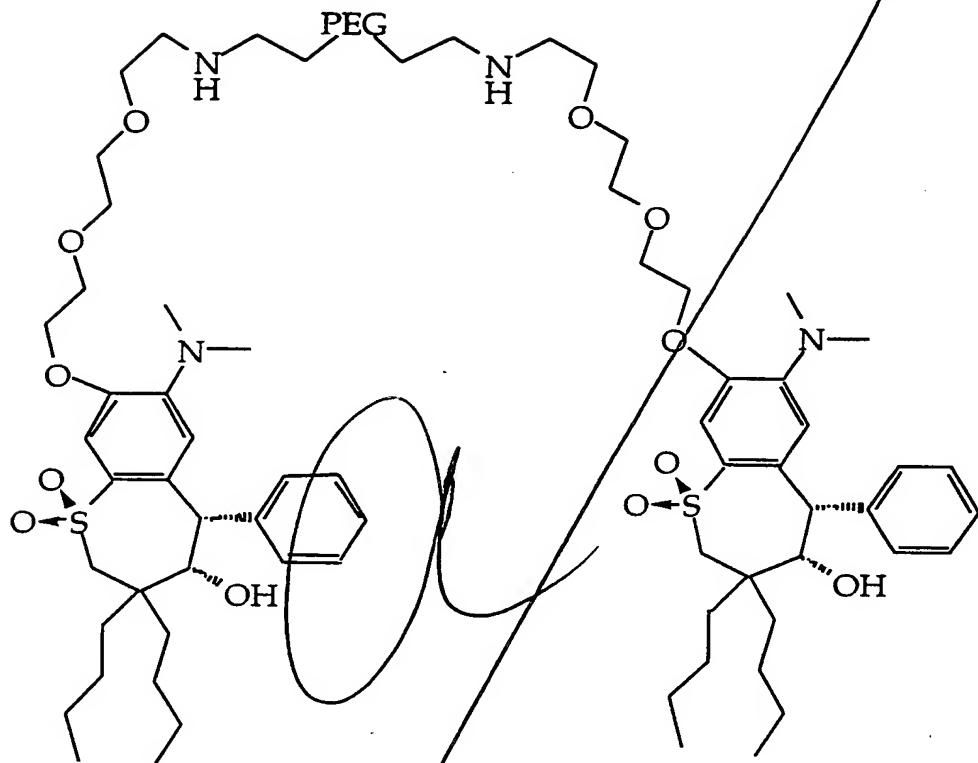
139. A compound of claim 138, wherein R^7 , R^{7A} , R^8 , and R^{8A} are each H.

20 140. A compound of claim 139, wherein d and e are independently 1 or 2.

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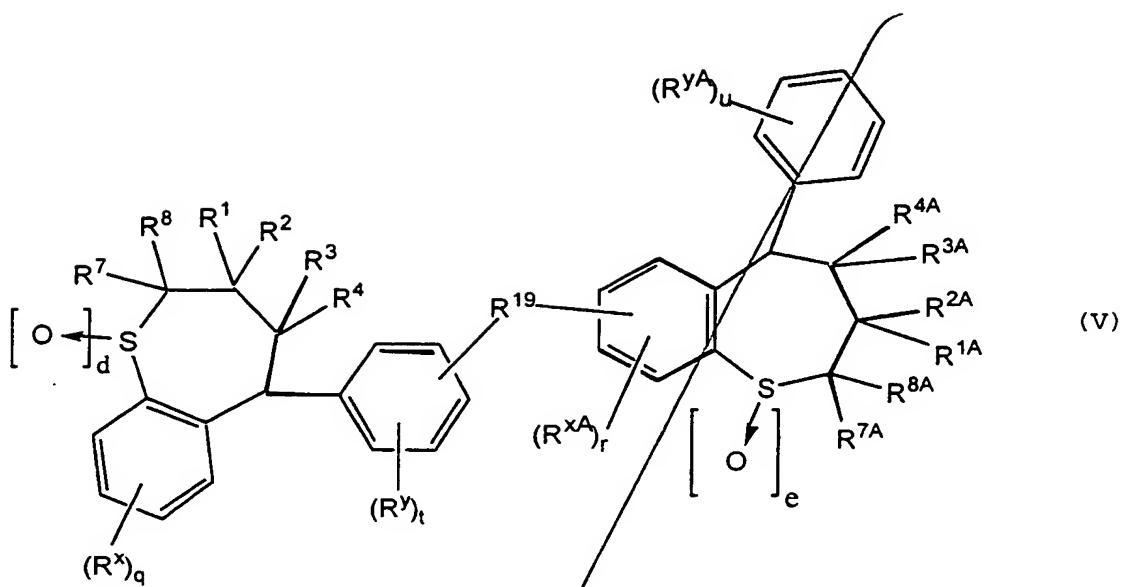
141. A compound of claim 140, having the formula:



PEG = 3400 molecular weight polyethylene glycol polymer chain

5

142. A compound of formula (V)



wherein :

q is an integer from 0 to 4;

5 r is an integer from 0 to 3;

d and e are independently integers from 0 to 2;

t is an integer from 0 to 4;

u is an integer from 0 to 5;

10 R¹, R^{1A}, R², and R^{2A} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituent selected from the group consisting of OR⁹,

NR⁹R¹⁰, N⁺R⁹R¹⁰WA⁻, SR⁹, S⁺R⁹A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹,

20 SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, polyalkyl, aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR⁹,

$N^+R^9R^{10}A^-$, S , SO , SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene,

wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, and arylalkyl; or

5 R^1 and R^2 taken together with the carbon to which they are attached form C_3-C_{10} cycloalkylidene, or

R^{1A} and R^{2A} taken together with the carbon to which they are attached form C_3-C_{10} cycloalkylidene;

10 R^3 , R^{3A} , R^4 , and R^{4A} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 , wherein R^9 and R^{10} are as defined above; or

15 R^3 and R^4 together form $=O$, $=NOR^{11}$, $=S$, $=NNR^{11}R^{12}$, $=NR^9$, or $=CR^{11}R^{12}$, or

R^{3A} and R^{4A} together form $=O$, $=NOR^{11}$, $=S$, $=NNR^{11}R^{12}$, $=NR^9$, or $=CR^{11}R^{12}$,

20 wherein R^{11} and R^{12} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $CONR^9R^{10}$,

25 wherein R^9 and R^{10} are as defined above, provided that both R^3 and R^4 cannot be OH, NH₂, and SH, or

R^{11} and R^{12} together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

30 wherein A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation;

R⁷, R^{7A}, R⁸, and R^{8A} are independently selected from the group consisting of hydrogen and alkyl; and one or more R^X and R^{Xa} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heterocycle, polyether, quaternary heterocycle, quaternary heteroaryl, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, S(O)₂R¹³, SO₃R¹³, S⁺R¹³R¹⁴A-, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)OM, COR¹³, OR¹⁸, S(O)_nNR¹⁸, NR¹³R¹⁸, NR¹⁸OR¹⁴, N⁺R⁹R¹¹R¹²A⁻, P⁺R⁹R¹¹R¹²A⁻, amino acid, peptide, polypeptide, and carbohydrate, wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and wherein R¹⁸ is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl, wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heterocycle, alkyl quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituent selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₃R⁹,

SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, and C(O)OM,
wherein in R^x and R^{xA}, one or more carbons are
optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂,
S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino
acid, peptide, polypeptide, carbohydrate, polyether, or
polyalkyl,

wherein in said polyalkyl, phenylene, amino acid,
peptide, polypeptide, and carbohydrate, one or more
carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻,
S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹;

wherein quaternary heterocycle and quaternary
heteroaryl are optionally substituted with one or more
groups selected from the group consisting of alkyl,
alkenyl, alkynyl, polyalkyl, polyether, aryl,
haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen,
oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³,
NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM,
SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴,

P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻,
R¹⁹ is selected from the group consisting of alkane
diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy
diyl, polyether diyl, polyalkoxy diyl, carbohydrate,
amino acid, and peptide, polypeptide, wherein alkane
diyl, alkene diyl, alkyne diyl, polyalkane diyl, alkoxy
diyl, polyether diyl, polyalkoxy diyl, carbohydrate,
amino acid, and peptide polypeptide, can optionally

have one or more carbon replaced by O, NR⁷, N⁺R⁷R⁸, S,
SO, SO², S⁺R⁷R⁸, PR⁷, P⁺R⁷R⁸, phenylene, heterocycle,
quaternary heterocycle, quaternary heteroaryl, or aryl,
wherein alkane diyl, alkene diyl, alkyne diyl,
polyalkane diyl, alkoxy diyl, polyether diyl,

polyalkoxy diyl, carbohydrate, amino acid, peptide, and polypeptide can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 5 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and 10 $N^+R^9R^{11}R^{12}A^-$;

wherein one or more R^y and R^{yA} are independently selected from from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, 15 quaternary heterocycle, OR^9 , SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, and heterocycle can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, 20 polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and 25 $N^+R^9R^{11}R^{12}A^-$,

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 , 30 NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo,

CONR^7R^8 , $\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $\text{P}(\text{O})\text{R}^7\text{R}^8$, $\text{P}^+\text{R}^7\text{R}^8\text{A}-$, and $\text{P}(\text{O})(\text{OR}')\text{OR}^8$, and

5 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR^7 , $\text{N}^+\text{R}^7\text{R}^8\text{A}-$, S, SO, SO_2 , $\text{S}^+\text{R}^7\text{A}-$, PR^7 , $\text{P}(\text{O})\text{R}'$, $\text{P}^+\text{R}^7\text{R}^8\text{A}-$, or phenylene.

10

143. A compound of claim 142, wherein R^1 , $\text{R}^{1\text{A}}$, R^2 , and $\text{R}^{2\text{A}}$ are independently selected from the group consisting of H and alkyl.

15

144. A compound of claim 143, wherein R^1 , $\text{R}^{1\text{A}}$, R^2 , and $\text{R}^{2\text{A}}$ are independently selected from the group consisting of H and $\text{C}_1\text{-C}_{10}$ alkyl.

20

145. A compound of claim 144, wherein said alkyl is a $\text{C}_2\text{-C}_7$ alkyl.

25

146. A compound of claim 145, wherein R^1 , $\text{R}^{1\text{A}}$, R^2 , and $\text{R}^{2\text{A}}$ are independently $\text{C}_2\text{-C}_4$ alkyl.

30

147. A compound of claim 146, wherein R^1 , $\text{R}^{1\text{A}}$, R^2 , and $\text{R}^{2\text{A}}$ are independently selected from the group consisting of ethyl, n-propyl, n-butyl, and isobutyl.

35

148. A compound of claim 142, wherein R^3 , $\text{R}^{3\text{A}}$, R^4 , and $\text{R}^{4\text{A}}$ are independently selected from the group consisting of H and OR' .

149. A compound of claim 148, wherein R' is H.

150. A compound of claim 142, wherein R^{7A}, R^{8A}, and R^{8A} are H.

5 151. A compound of claim 142, wherein d and e are independently 1 or 2.

152. A compound of claim 151, wherein d and e are both 2.

10 153. A compound of claim 142, wherein one or more

R^X and one or more R^{xA} are independently selected from the group consisting of alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, polyether, halogen, OR¹³, NR¹³R¹⁴, NR¹³NR¹⁴R¹⁵, N⁺R⁹R¹¹R¹²A⁻, SR¹³,

15 S⁺R¹³R¹⁴, CO₂R¹³, NR¹⁴C(O)R¹³, and NR¹⁴C(O)R¹³, wherein alkyl, aryl, cycloalkyl, heterocycle, polyalkyl, acyloxy, and polyether, can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹,

S(O)R⁹, SO₂R⁹, SO₃R⁹, Oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰ SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

20 wherein in R^X, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl and

25 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹.

154. A compound of claim 142, wherein one or more R^Y and one or more R^{YA} are independently selected from the group consisting of alkyl, polyether, fluoride, chloride, bromide, iodide, $NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, and OR^{13} ,

5

wherein alkyl and polyether can be further substituted with SO_3R^9 , $N^+R^9R^{11}R^{12}A^-$, and quaternary heteroaryl.

10 155. A compound of claim 142, wherein R^{19} is selected from the group consisting of alkane diyl, polyalkane diyl, alkoxy diyl, and polyalkoxy diyl, wherein alkane diyl and polyalkane diyl can optionally have one or more carbon replaced by O, NR₇, N+R₇R₈, S, 15 SO, SO₂, S+R₇R₈, PR₇, P+R₇R₈, or phenylene.

156. A compound of claim 155, wherein R^{19} is selected from the group consisting of alkoxy diyl and polyalkoxydiyl wherein one or more carbons are 20 optionally replaced by O, NR⁹, N⁺R⁹R¹⁰, S, SO, SO₂, S⁺R⁹R¹⁰, PR⁹, P⁺R⁹R¹⁰, phenylene, amino acid, peptide, polypeptide, carbohydrate, or polyalkyl.

25 157. A compound of claim 156, wherein R^1 , R^{1A} , R^2 , and R^{2A} are independently selected from the group consisting of H and alkyl.

30 158. A compound of claim 157, wherein R^3 , R^{3A} , R^4 , and R^{4A} are independently selected from the group consisting of H and OR^9 .

159. A compound of claim 158, wherein R^9 is H.

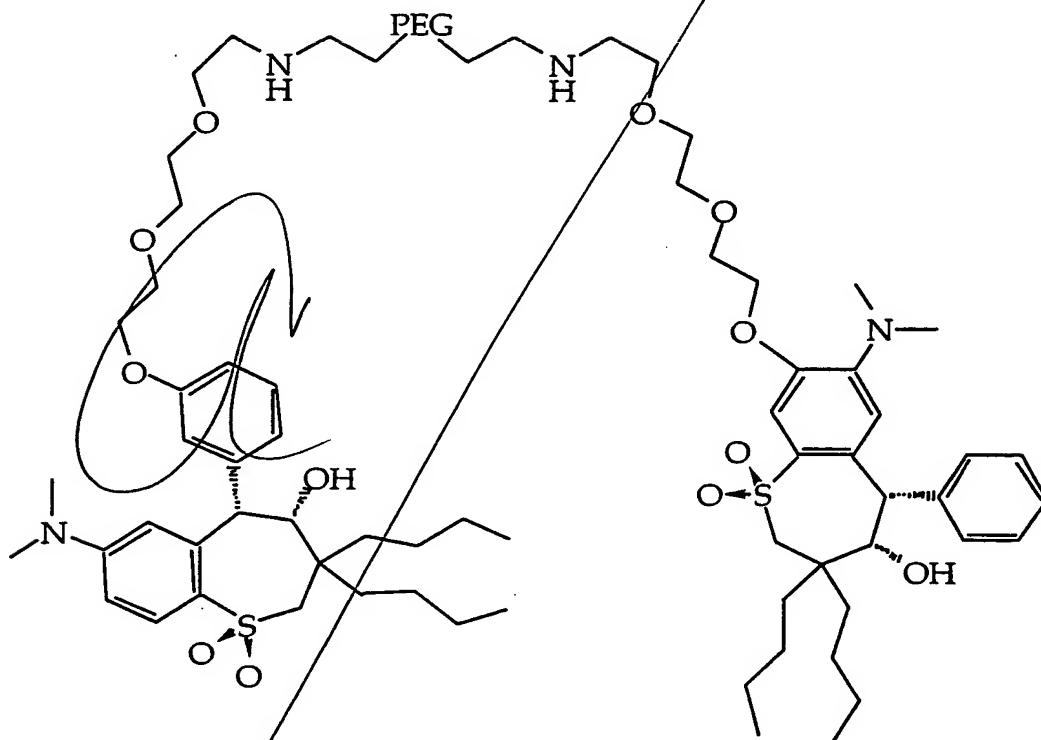
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160. A compound of claim 159, wherein R⁷, R^{7A}, R⁸,
and R^{8A} are each H.

5 161. A compound of claim 160, wherein d and e are
independently 1 or 2.

162. A compound of claim 161, having the formula:



PEG = 3400 molecular weight polyethylene glycol polymer chain

10

163. A pharmaceutical composition comprising an
anti-hyperlipidemic condition effective amount of a
compound of formula (I) of claim 1, and
a pharmaceutically acceptable carrier.

15

164. A pharmaceutical composition comprising an
anti-atherosclerotic effective amount of a compound of

a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can be further substituted with one or more substituent

5 groups selected from the group consisting of OR⁷,
NR⁷R⁸, SR⁷, S(O)R⁷, SO₂R⁷, SO₃R⁷, CO₂R⁷, CN, oxo,
CONR⁷R⁸, N⁺R⁷R⁸A⁻, alkyl, alkenyl, alkynyl, aryl,
cycloalkyl, heterocycle, arylalkyl, quaternary
heterocycle, quaternary heteroaryl, P(O)R⁷R⁸,

10 P⁺R⁷R⁸A⁻, and P(O)(OR⁷)OR⁸, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can optionally have one or more carbons replaced by O,

15 NR⁷, N⁺R⁷R⁸A⁻, S, SO, SO₂, S⁺R⁷A⁻, PR⁷, P(O)R⁷,

P⁺R⁷R⁸A⁻, or phenylene, and R¹³, R¹⁴, and R¹⁵ are

independently selected from the group consisting of
hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, aryl,
arylalkyl, cycloalkyl, heterocycle, heteroaryl,
quaternary heterocycle, quaternary heteroaryl, and

20 quaternary heteroarylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl,
heterocycle, and polyalkyl optionally have one or more
carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂,

S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, P(O)R⁹, phenylene,

25 carbohydrate, amino acid, peptide, or polypeptide, and

R¹³, R¹⁴, and R¹⁵ are optionally substituted with
one or more groups selected from the group consisting
of sulfoalkyl, quaternary heterocycle, quaternary

heteroaryl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹,

30 SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM,

$\text{SO}_2\text{NR}^9\text{R}^{10}$, $\text{PO}(\text{OR}^{16})\text{OR}^{17}$, $\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$, $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$, and $\text{C}(\text{O})\text{OM}$,

wherein R^{16} and R^{17} are independently selected from the substituents constituting R^9 and M ; or

5 R^{14} and R^{15} , together with the nitrogen atom to which they are attached, form a cyclic ring; and

R^6 is hydroxy; and

R^7 and R^8 are independently selected from the group consisting of hydrogen and alkyl; and

10 one or more R^x are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR^{13} , $\text{NR}^{13}\text{R}^{14}$, SR^{13} , $\text{S}(\text{O})\text{R}^{13}$, $\text{S}(\text{O})_2\text{R}^{13}$, SO_3R^{13} , $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, $\text{NR}^{13}\text{OR}^{14}$, $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $\text{SO}_2\text{NR}^{13}\text{R}^{14}$, $\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$, $\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$, $\text{NR}^{14}\text{C}(\text{O})\text{R}^{13}$, $\text{C}(\text{O})\text{OM}$, COR^{13} , OR^{18} , $\text{S}(\text{O})_n\text{NR}^{18}$, $\text{NR}^{13}\text{R}^{18}$, $\text{NR}^{18}\text{OR}^{14}$, $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, $\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, amino acid, peptide, polypeptide, and carbohydrate,

20 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR^9 , NR^9R^{10} ,

25 $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, SR^9 , $\text{S}(\text{O})\text{R}^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $\text{CONR}^9\text{R}^{10}$, SO_2OM , $\text{SO}_2\text{NR}^9\text{R}^{10}$, $\text{PO}(\text{OR}^{16})\text{OR}^{17}$, $\text{P}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$, $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$, or $\text{C}(\text{O})\text{OM}$, and

wherein R^{18} is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,

heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, CNR^9R^{10} , SO_3R^9 , SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,

wherein in R^X , one or more carbons are optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$, PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, or $P(O)R^9$;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,

provided that both R^5 and R^6 cannot be hydrogen, OH, or SH;

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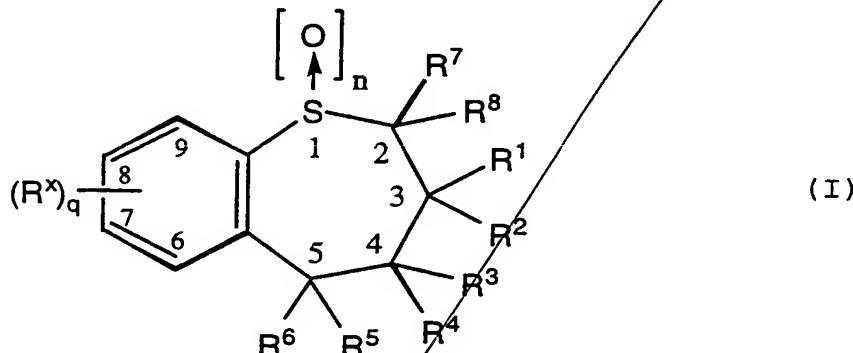
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provided that when R⁵ is phenyl, only one of R¹ or R² is H; or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

5

170. A compound of formula I:



wherein:

q is 1 or 2;

10 n is 2;

R¹ and R² are each alkyl;R³ is hydroxy;R⁴ and R⁶ are hydrogen;R⁵ has the formula (II)

15

wherein t is an integer from 0 to 5;

one or more R^y are OR¹³;R¹³ is selected from the group consisting of

20 hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, aryl, arylalkyl, cycloalkyl, heterocycle, heteroaryl,

quaternary heterocycle, quaternary heteroaryl, and
quaternary heteroarylalkyl;

5 said R¹³ alkyl, alkenyl, alkynyl, arylalkyl,
heterocycle, and polyalkyl groups optionally have one
or more carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂,
S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, P(O)R⁹, phenylene, carbohydrate,
amino acid, peptide, or polypeptide;

10 R¹³ is optionally substituted with one or more
groups selected from the group consisting of
sulfoalkyl, quaternary heterocycle, quaternary
heteroaryl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹,
SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰,
PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A⁻, S⁺R⁹R¹⁰A⁻, and C(O)OM,
wherein A⁻ is a pharmaceutically acceptable anion,
15 and M is a pharmaceutically acceptable cation,

20 R⁹ and R¹⁰ are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl,
cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl,
arylalkyl, and alkylammoniumalkyl;

25 R¹¹ and R¹² are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl, aryl,
arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle,
carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl,
OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen,
oxo, and CONR⁹R¹⁰, wherein R⁹ and R¹⁰ are as defined
above, provided that both R⁹ and R¹⁰ cannot be OH, NH₂,
and SH; or

30 R¹¹ and R¹² together with the nitrogen or carbon
atom to which they are attached form a cyclic ring; and

 R¹⁶ and R¹⁷ are independently selected from the
substituents constituting R⁹ and M;

 R⁷ and R⁸ are hydrogen; and

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one or more R^x are independently selected from the group consisting of alkoxy, alkylamino and dialkylamino; or

5 a pharmaceutically acceptable salt, solvate, or prodrug thereof.

171. A compound of claim 170 wherein R¹ and R² are each n-butyl.

10 172. A compound of claim 171 wherein t is 1, R^y is OR¹³, and R¹³ is as defined in claim 170.

15 173. A compound of claim 172 wherein one or more R^x are independently selected from methoxy and dimethylamino.

174. A compound of claim 172 wherein R^x is dimethylamino.

20 175. A compound of claim 172 wherein:
t is 1;
R^y is para-OR¹³; and
R¹³ is as defined in claim 170.

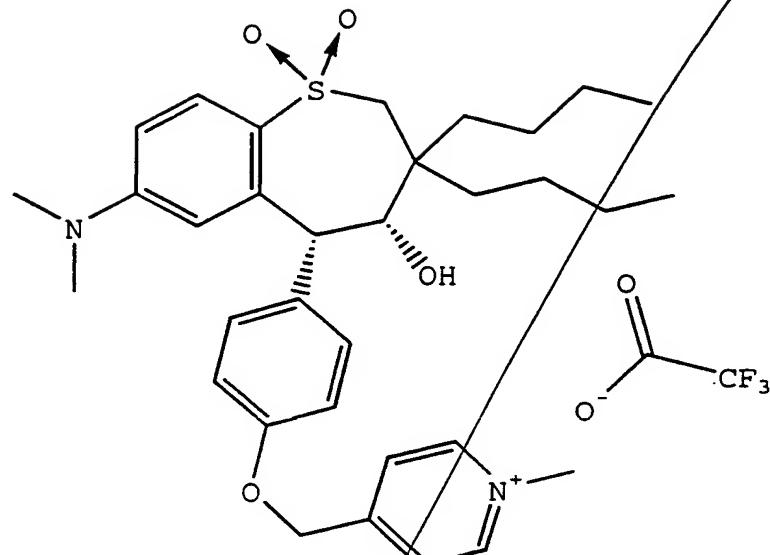
25 176. A compound of claim 172 wherein:
t is 1;
R^y is meta-OR¹³; and
R¹³ is as defined in claim 170.

30 177. A compound of claim 172 having the 4R,5R configuration.

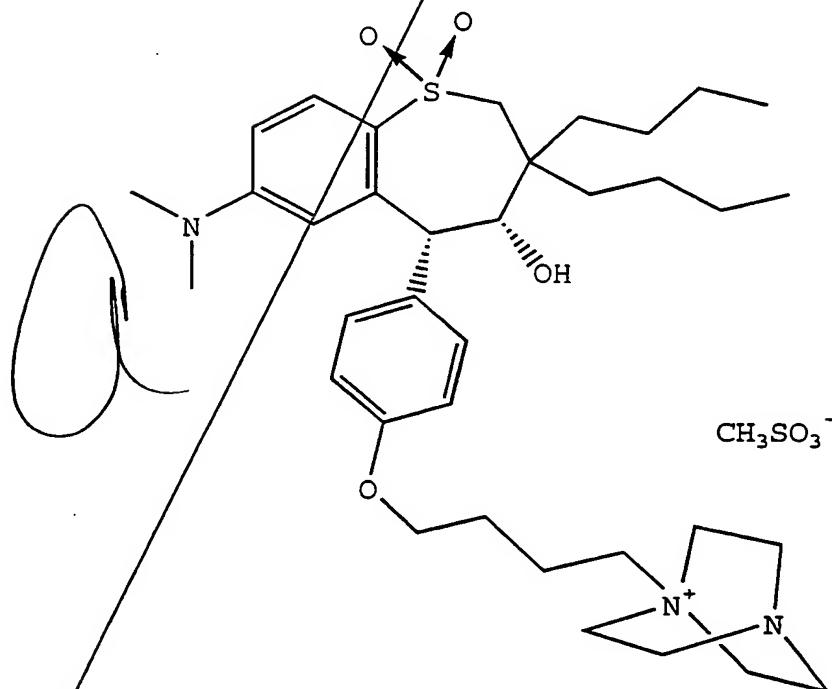
178. A compound of claim 170 having the structural formula:

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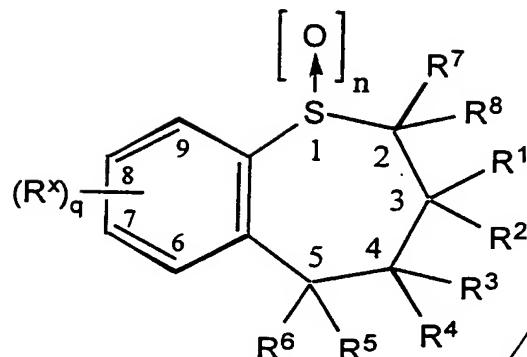
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179. A compound of claim 170 having the
5 structural formula:



180. A compound of formula (I):



wherein:

q is an integer from 1 to 4;

5 n is an integer from 0 to 2;

10 R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{10}A^-$, SR^9 , $S^+R^9R^{10}A^-$, $P^+R^9R^{10}R^{11}A^-$, $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $CONR^9R^{10}$,

20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene,

25 wherein R^9 , R^{10} , and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,

ammoniumalkyl, arylalkyl, carboxyalkyl,
carboxyheteroaryl, carboxyheterocycle,
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,
heterocyclalkyl, and alkylammoniumalkyl; or

5 R¹ and R² taken together with the carbon to which
they are attached form C₃-C₁₀ cycloalkyl;

10 R³ and R⁴ are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl,
acyloxy, aryl, heterocycle, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹,
SO₂R⁹, and SO₃R⁹, wherein R⁹ and R¹⁰ are as defined
above; or

15 R³ and R⁴ together form =O, =NOR¹¹, =S, =NNR¹¹R¹²,
=NR⁹, or =CR¹¹R¹²,

20 wherein R¹¹ and R¹² are independently selected
from the group consisting of H, alkyl, alkenyl,
alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl,
heterocycle, carboxyalkyl, carboalkoxyalkyl,
cycloalkyl, cyanoalkyl, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹,
SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,
wherein R⁹ and R¹⁰ are as defined above, provided that
both R³ and R⁴ cannot be OH, NH₂, and SH, or

25 R¹¹ and R¹² together with the nitrogen or carbon
atom to which they are attached form a cyclic ring;

30 R⁵ is aryl substituted with one or more OR^{13a},
wherein R^{13a} is selected from the group consisting
of polyether, aryl, alkylarylkyl,
alkylheteroarylalkyl, alkylheterocyclalkyl,
heterocyclalkyl, heteroarylalkyl, quaternary
heterocyclalkyl, alkylammoniumalkyl, and
carboxyalkylaminocarbonylalkyl,

R^{13a} is optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A⁻, S⁺R⁹R¹⁰A⁻, and C(O)OM,

wherein A⁻ is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

wherein R¹⁶ and R¹⁷ are independently selected from the substituents constituting R⁹ and M; and

R⁶ is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR³⁰, SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³CO₂R¹⁴, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³SOR¹⁴, NR¹³SO₂R¹⁴, NR¹³SONR¹⁴R¹⁵,

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$\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$, $\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$, $\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$, $\text{P}(\text{OR}^{13})\text{OR}^{14}$,
 $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, and $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$,

wherein:

A^- is a pharmaceutically acceptable anion and M is
a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can be further substituted with one or more substituent
groups selected from the group consisting of OR^7 ,

NR^7R^8 , SR^7 , $\text{S}(\text{O})\text{R}^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN, oxo,
 CONR^7R^8 , $\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$, alkyl, alkenyl, alkynyl, aryl,
cycloalkyl, heterocycle, arylalkyl, quaternary
heterocycle, quaternary heteroaryl, $\text{P}(\text{O})\text{R}^7\text{R}^8$,

$\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$, and $\text{P}(\text{O})(\text{OR}^7)\text{OR}^8$ and

wherein said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can optionally have one or more carbons replaced by O,
 NR^7 , $\text{N}^+\text{R}^7\text{R}^8\text{A}^-$, S, SO, SO_2 , $\text{S}^+\text{R}^7\text{A}^-$, PR^7 , $\text{P}(\text{O})\text{R}^7$,

$\text{P}^+\text{R}^7\text{R}^8\text{A}^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are

independently selected from the group consisting of
hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, arylalkyl, alkylarylalkyl,
alkylheteroarylalkyl, alkylheterocyclalkyl,
cycloalkyl, heterocycle, heteroaryl, quaternary
heterocycle, quaternary heteroaryl, heterocyclalkyl,

heteroarylalkyl, quaternary heterocyclalkyl,
quaternary heteroarylalkyl, alkylammoniumalkyl, and
carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl,

heterocycle, and polyalkyl optionally have one or more
carbons replaced by O, NR^9 , $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$, S, SO, SO_2 ,

$S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, $P(O)R^9$, phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

5 R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$,
10 SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_2OM ,
 $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, $P^+R^9R^{10}R^{11}A^-$, $S^+R^9R^{10}A^-$, and $C(O)OM$,

15 wherein R^{16} and R^{17} are independently selected from the substituents constituting R^9 and M; or
 R^{13} and R^{14} , together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

20 R^{14} and R^{15} , together with the nitrogen atom to which they are attached, form a cyclic ring; and

25 R^{30} is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

30 R^7 and R^8 are independently selected from the group consisting of hydrogen and alkyl; and

 one or more R^X are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl,

polyalkyl, acyloxy, aryl, arylalkyl, halogen,
 haloalkyl, cycloalkyl, heterocycle, heteroaryl,
 polyether, quaternary heterocycle, quaternary
 heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)_{2}R^{13}$,
 5 SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} ,
 CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$,
 $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$,
 $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$, $P^+R^9R^{11}R^{12}A^-$, amino acid,
 peptide, polypeptide, and carbohydrate,
 10 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl,
 polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl,
 polyether, quaternary heterocycle, and quaternary
 heteroaryl can be further substituted with OR^9 , NR^9R^{10} ,
 $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 ,
 15 CN , halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$,
 $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and
 wherein R^{18} is selected from the group consisting
 of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,
 heteroaryl, alkyl,
 20 wherein acyl, arylalkoxycarbonyl, arylalkyl,
 heterocycle, heteroaryl, alkyl, quaternary heterocycle,
 and quaternary heteroaryl optionally are substituted
 with one or more substituents selected from the group
 consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$,
 25 SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $CONR^9R^{10}$, SO_3R^9 ,
 SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,
 wherein in R^X , one or more carbons are optionally
 replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$,
 PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid,

peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹,

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻, or a pharmaceutically acceptable salt, solvate, or prodrug thereof.

181. A compound of claim 180 wherein:

R⁵ is phenyl substituted with OR^{13a};

R^{13a} is independently selected from the group consisting of polyether, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, and carboxyalkylaminocarbonylalkyl; and

R^{13a} is optionally substituted with one or more groups selected from the group consisting of carboxy, quaternary heterocycle, quaternary heteroaryl, and NR⁹R¹⁰.

182. A compound of claim 180 wherein n is 1 or 2.

183. A compound of claim 180 wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen and alkyl.

5

184. A compound of claim 180 wherein R⁷ and R⁸ are hydrogen.

10 185. A compound of claim 180 wherein R⁵ and R⁴ are independently selected from the group consisting of hydrogen and OR⁹.

15

186. A compound of claim 180 wherein R³ is hydrogen and R⁴ is hydroxy.

187. A compound of claim 180 wherein one or more R^x are independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

20

188. A compound of claim 180 wherein one or more R^x are independently selected from methoxy and dimethylamino.

25

189. A compound of claim 180 wherein R¹ and R² are independently selected from the group consisting of hydrogen and alkyl.

30

190. A compound of claim 180 wherein R¹ and R² are independently selected from the group consisting alkyl.

191. A compound of claim 180 wherein R¹ and R² are the same alkyl.

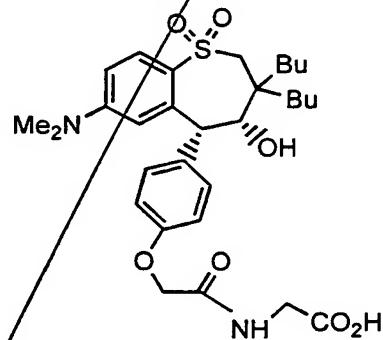
35

192. A compound of claim 180 wherein R¹ and R² are each n-butyl.

193. A compound of claim 180 wherein
n is 1 or 2;
R¹ and R² are n-butyl;
5 R³ and R⁶ are hydrogen;
R⁴ is hydroxy;
R⁷ and R⁸ are hydrogen; and
one or more R^x are independently selected from
methoxy and dimethylamino.

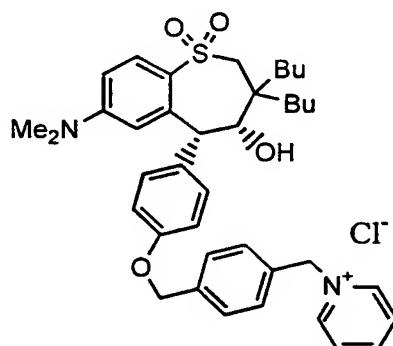
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194. A compound of claim 180 having the structural formula:



15

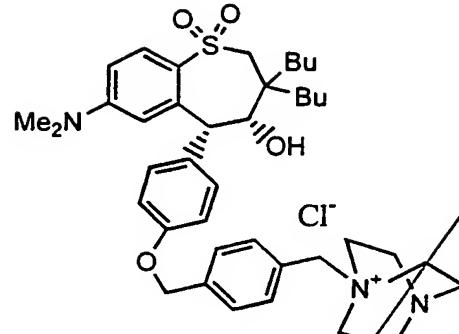
195. A compound of claim 180 having the structural formula:



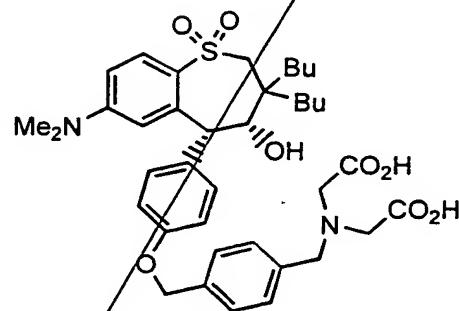
196. A compound of claim 180 having the structural formula:

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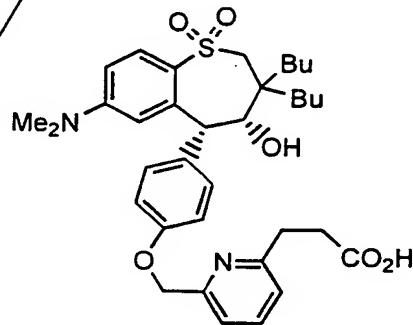
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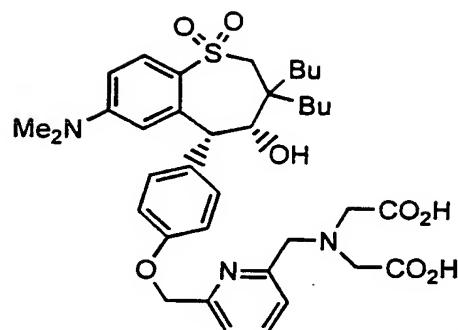
197. A compound of claim 180 having the structural formula:



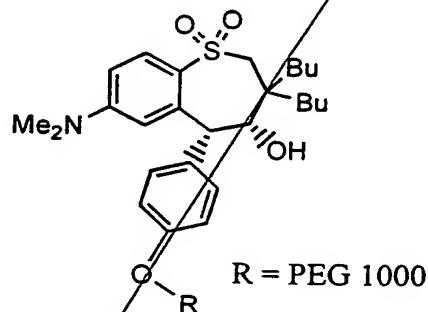
5 198. A compound of claim 180 having the structural formula:



199. A compound of claim 180 having the structural formula:

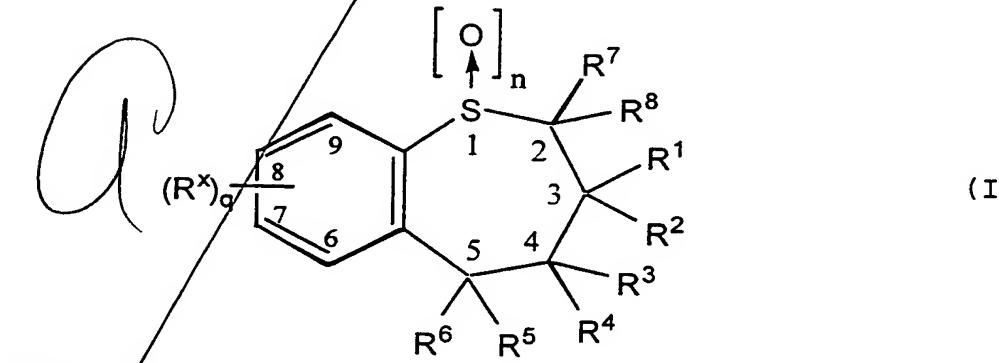


200. A compound of claim 180 having the structural formula:



5

201. A compound of formula (I):



wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

10

R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and

cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹⁰R^WA⁻, SR⁹, S⁺R⁹R¹⁰A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, P⁺R⁹R¹⁰A⁻, or phenylene,

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

R¹ and R² taken together with the carbon to which they are attached form C₃-C₁₀ cycloalkyl;

R³ and R⁴ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹, wherein R⁹ and R¹⁰ are as defined above; or

R³ and R⁴ together form =O, =NOR¹¹, =S, =NNR¹¹R¹², =NR⁹, or =CR¹¹R¹²,

wherein R¹¹ and R¹² are independently selected

from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,
5 wherein R⁹ and R¹⁰ are as defined above, provided that both R³ and R⁴ cannot be OH, NH₂, and SH, or

11 R¹¹ and R¹² together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

10 R⁵ is aryl substituted with one or more OR^{13b}, wherein R^{13b} is selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,
15

20 R^{13b} is substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, or guanidinyl, and

25 R⁶ is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR³⁰, SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹,

30 wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more

substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary
5 heteroaryl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}CO_2R^{14}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}SOR^{14}$, $NR^{13}SO_2R^{14}$, $NR^{13}SONR^{14}R^{15}$,
10 $NR^{13}SO_2NR^{14}R^{15}$, $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,
wherein:

A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

15 said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 , NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo,
20 $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$, $P^+R^7R^8R^9A^-$, and $P(OR^7)OR^8$, and

25 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR^7 , $N^+R^7R^8A^-$, S, SO, SO_2 , $S^+R^7A^-$, PR^7 , $P(O)R^7$, $P^+R^7R^8A^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,
30

polyether, aryl, arylalkyl, alkylarylalkyl,
alkylheteroarylalkyl, alkylheterocyclalkyl,
cycloalkyl, heterocycle, heteroaryl, quaternary
heterocycle, quaternary heteroaryl, heterocyclalkyl,
5 heteroarylalkyl, quaternary heterocyclalkyl,
quaternary heteroarylalkyl, alkylammoniumalkyl, and
carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl,
heterocycle, and polyalkyl optionally have one or more
10 carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂,
S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, P(O)R⁹, phenylene, carbohydrate,
amino acid, peptide, or polypeptide, and

15 R¹³, R¹⁴, and R¹⁵ are optionally substituted with
one or more groups selected from the group consisting
of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl,
heterocycle, heteroaryl, sulfoalkyl, quaternary
heterocycle, quaternary heteroaryl, quaternary
heterocyclalkyl, quaternary heteroarylalkyl,
guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹,
20 SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM,
SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A⁻, S⁺R⁹R¹⁰A⁻, and
C(O)OM,

25 wherein R¹⁶ and R¹⁷ are independently selected
from the substituents constituting R⁹ and M; or

R¹³ and R¹⁴, together with the nitrogen atom to
which they are attached form a mono- or polycyclic
heterocycle that is optionally substituted with one or
more radicals selected from the group consisting of
oxo, carboxy and quaternary salts; or

30 R¹⁴ and R¹⁵, together with the nitrogen atom to
which they are attached, form a cyclic ring; and

R³⁰ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, 5 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

R⁷ and R⁸ are independently selected from the group consisting of hydrogen and alkyl; and

one or more R^X are independently selected from the 10 group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, S(O)₂R¹³, 15 SO₃R¹³, S⁺R¹³R¹⁴A-, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)OM, COR¹³, OR¹⁸, S(O)_nNR¹⁸, NR¹³R¹⁸, NR¹⁸OR¹⁴, N⁺R⁹R¹¹R¹²A-, P⁺R⁹R¹¹R¹²A-, amino acid, peptide, polypeptide, and carbohydrate,

20 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, 25 CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A-, S⁺R⁹R¹⁰A-, or C(O)OM, and

30 wherein R¹⁸ is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl,

heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_3R^9 , SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,

5 wherein in R^X , one or more carbons are optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$, PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or 10 polyalkyl,

15 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, or $P(O)R^9$;

20 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and 25 $N^+R^9R^{11}R^{12}A^-$, or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

30 202. A compound of claim 201 wherein:
 R^5 is phenyl substituted with OR^{13b} ;

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R^{13b} is independently selected from the group consisting of alkyl, quaternary heteroarylalkyl, and quaternary heterocyclalkyl; and

5 R^{13b} is substituted with one or more groups selected from the group consisting of hydroxy, heterocycle, heteroaryl, and guanidinyl.

203. A compound of claim 201 wherein n is 1 or 2.

10 204. A compound of claim 201 wherein R^7 and R^8 are independently selected from the group consisting of hydrogen and alkyl.

15 205. A compound of claim 201 wherein R^7 and R^8 are hydrogen.

20 206. A compound of claim 201 wherein R^3 and R^4 are independently selected from the group consisting of hydrogen and OR^9 .

207. A compound of claim 201 wherein R^3 is hydrogen and R^4 is hydroxy.

25 208. A compound of claim 201 wherein one or more R^x are independently selected from the group consisting of OR^{13} and $NR^{12}R^{14}$.

30 209. A compound of claim 201 wherein one or more R^x are independently selected from methoxy and dimethylamino.

210. A compound of claim 201 wherein R^1 and R^2 are independently selected from the group consisting of hydrogen and alkyl.

211. A compound of claim 201 wherein R¹ and R² are independently selected from the group consisting alkyl.

5 212. A compound of claim 201 wherein R¹ and R² are the same alkyl.

213. A compound of claim 201 wherein R¹ and R² are each n-butyl.

10 214. A compound of claim 201 wherein n is 1 or 2;

R¹ and R² are n-butyl;

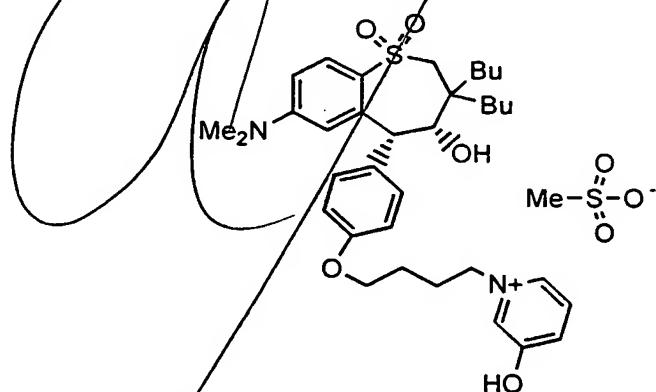
R³ and R⁶ are hydrogen;

R⁴ is hydroxy;

15 R⁷ and R⁸ are hydrogen; and

one or more R^x are independently selected from methoxy and dimethylamino.

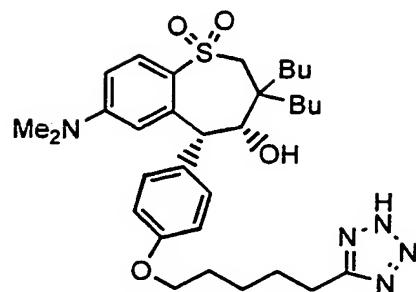
20 215. A compound of claim 201 having the structural formula:



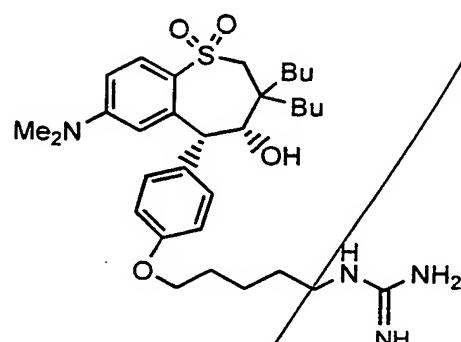
216. A compound of claim 201 having the structural formula:

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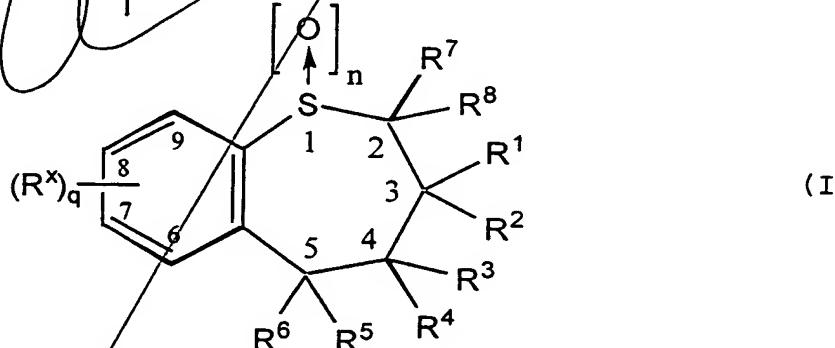


217. A compound of claim 201 having the structural formula:



5

218. A compound of formula (I):



wherein:

q is an integer from 1 to 4;

10 n is an integer from 0 to 2;

15 R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl,
alkylaryl, arylalkyl, alkoxy, alkoxyalkyl,
dialkylamino, alkylthio, (polyalkyl)aryl, and
cycloalkyl optionally are substituted with one or more
5 substituents selected from the group consisting of OR^9 ,
 NR^9R^{10} , $N^+R^9R^{10}R^WA^-$, SR^9 , $S^+R^9R^{10}A^-$, $P^+R^9R^{10}R^{11}A^-$,
 $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and
 $CONR^9R^{10}$,

10 wherein alkyl, alkenyl, alkynyl, alkylaryl,
alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl
optionally have one or more carbons replaced by O, NR^9 ,
 $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene,

15 wherein R^9 , R^{10} , and R^W are independently selected
from the group consisting of H, alkyl, alkenyl,
alkynyl, cycloalkyl, aryl, acyl, heterocycle,
ammoniumalkyl, arylalkyl, carboxyalkyl,
carboxyheteroaryl, carboxyheterocycle,
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,
heterocyclalkyl, and alkylammoniumalkyl; or

20 R^1 and R^2 taken together with the carbon to which
they are attached form C_3-C_{10} cycloalkyl;

25 R^3 and R^4 are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl,
acyloxy, aryl, heterocycle, OR^9 , NR^9R^{10} , SR^9 , $S(O)R^9$,
 SO_2R^9 , and SO_3R^9 , wherein R^9 and R^{10} are as defined
above; or

R^3 and R^4 together form =O, =NOR¹¹, =S, =NNR¹¹R¹²,
=NR⁹, or =CR¹¹R¹²,

30 wherein R^{11} and R^{12} are independently selected
from the group consisting of H, alkyl, alkenyl,

alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl, cycloalkyl, cyanoalkyl, OR^9 , $NR^{9a}R^{10}$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $CONR^{9a}R^{10}$,

5 wherein R^9 and R^{10} are as defined above, provided that both R^3 and R^4 cannot be OH, NH₂, and SH, or

15 R^{11} and R^{12} together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

R^5 is aryl substituted with one or more OR^{13b} ,

10 wherein R^{13b} is selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heteroarylalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

15 R^{13b} is substituted with one or more groups

20 selected from the group consisting of OR^{9a} , $NR^{9a}R^{10}$, $N^+R^{9a}R^{11}R^{12}A^-$, SR^{9a} , $S(O)R^{9a}$, SO_2R^{9a} , SO_3R^{9a} , CO_2R^{9a} , $CONR^{9a}R^{10}$, $SO_2NR^{9a}R^{10}$, $P^+R^{9a}R^{10}R^{11}A^-$, and $S^+R^{9a}R^{10}A^-$,

25 wherein A^- is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation, and

wherein R^{9a} is selected from the group consisting of carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, and carboxyalkylamino;

30 R^6 is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR^{30} , SR^9 , $S(O)R^9$, SO_2R^9 , and

SO_3R^9 ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary

5 heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl,

polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary

10 heteroaryl, halogen, oxo, $\text{OR}^{13}\text{R}^{14}$, SR^{13} , S(O)R^{13} , SO_2R^{13} , SO_3R^{13} , $\text{NR}^{13}\text{OR}^{14}$, $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$, NO_2 , CO_2R^{13} , CN ,

OM, SO_2OM , $\text{SO}_2\text{NR}^{13}\text{R}^{14}$, $\text{C(O)NR}^{13}\text{R}^{14}$, C(O)OM , COR^{13} ,

15 $\text{NR}^{13}\text{C(O)R}^{14}$, $\text{NR}^{13}\text{C(O)NR}^{14}\text{R}^{15}$, $\text{NR}^{13}\text{CO}_2\text{R}^{14}$, OC(O)R^{13} ,

$\text{OC(O)NR}^{13}\text{R}^{14}$, $\text{NR}^{13}\text{SOR}^{14}$, $\text{NR}^{13}\text{SO}_2\text{R}^{14}$, $\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$,

$\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$, $\text{P(O)R}^{13}\text{R}^{14}$, $\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$, $\text{P(OR}^{13})\text{OR}^{14}$,

15 $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, and $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$,

wherein:

A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl,

20 polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 ,

NR^7R^8 , SR^7 , S(O)R^7 , SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo,

25 CONR^7R^8 , $\text{N}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$, alkyl, alkenyl, alkynyl, aryl,

cycloalkyl, heterocycle, arylalkyl, quaternary

heterocycle, quaternary heteroaryl, $\text{P(O)R}^7\text{R}^8$,

$\text{P}^+\text{R}^7\text{R}^8\text{R}^9\text{A}^-$, and $\text{P(O)(OR}^7)\text{OR}^8$, and

30 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O,

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NR^7 , $\text{N}^+ \text{R}^7 \text{R}^8 \text{A}^-$, S , SO , SO_2 , $\text{S}^+ \text{R}^7 \text{A}^-$, PR^7 , P(O)R^7 ,

5 $\text{P}^+ \text{R}^7 \text{R}^8 \text{A}^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

10 wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by O , NR^9 , $\text{N}^+ \text{R}^9 \text{R}^{10} \text{A}^-$, S , SO , SO_2 , $\text{S}^+ \text{R}^9 \text{A}^-$, PR^9 , $\text{P}^+ \text{R}^9 \text{R}^{10} \text{A}^-$, P(O)R^9 , phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

15 R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR^9 , $\text{NR}^9 \text{R}^{10}$, $\text{N}^+ \text{R}^9 \text{R}^{11} \text{R}^{12} \text{A}^-$, SR^9 , S(O)R^9 , $\text{SO}_2 \text{R}^9$, $\text{SO}_3 \text{R}^9$, OxO , $\text{CO}_2 \text{R}^9$, CN , halogen, $\text{CONR}^9 \text{R}^{10}$, $\text{SO}_2 \text{OM}$, $\text{SO}_2 \text{NR}^9 \text{R}^{10}$, $\text{PO}(\text{OR}^{16}) \text{OR}^{17}$, $\text{P}^+ \text{R}^9 \text{R}^{10} \text{R}^{11} \text{A}^-$, $\text{S}^+ \text{R}^9 \text{R}^{10} \text{A}^-$, and C(O)OM ,

20 25 30 wherein R^{16} and R^{17} are independently selected from the substituents constituting R^9 and M ; or R^{13} and R^{14} , together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or

more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

R^{14} and R^{15} , together with the nitrogen atom to which they are attached, form a cyclic ring; and

5 R^{30} is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

10 R^7 and R^8 are independently selected from the group consisting of hydrogen and alkyl; and

15 one or more R^X are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)_2R^{13}$, SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , 20 CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$, $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$, $P^+R^9R^{11}R^{12}A^-$, amino acid, peptide, polypeptide, and carbohydrate,

25 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, 30 $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and

wherein R^{18} is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

5 wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_3R^9 ,
10 SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,

wherein in R^X , one or more carbons are optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$,
15 PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, or $P(O)R^9$;

20 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} ,
25 $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$, or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

219. A compound of claim 218 wherein:

5 R⁵ is phenyl substituted with OR^{13b};
R^{13b} is alkyl; and
R^{13b} is substituted with one or more groups selected from the group consisting of OR^{9a} and NR^{9a}R¹⁰; and
10 R^{9a} is selected from the group consisting of carboxyalkyl, carboxyheteroaryl, and carboxyheterocycle; and
R¹⁰ is carboxyalkyl.

220. A compound of claim 218 wherein n is 1 or 2.

15 221. A compound of claim 218 wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen and alkyl.

20 222. A compound of claim 218 wherein R⁷ and R⁸ are hydrogen.

25 223. A compound of claim 218 wherein R³ and R⁴ are independently selected from the group consisting of hydrogen and OR⁹.

30 224. A compound of claim 218 wherein R³ is hydrogen and R⁴ is hydroxy.

224. A compound of claim 218 wherein one or more R^x are independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

35 226. A compound of claim 218 wherein one or more R^x are independently selected from methoxy and

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dimethylamino.

227. A compound of claim 218 wherein R¹ and R² are independently selected from the group consisting of 5 hydrogen and alkyl.

228. A compound of claim 218 wherein R¹ and R² are independently selected from the group consisting alkyl.

10 229. A compound of claim 218 wherein R¹ and R² are the same alkyl.

15 230. A compound of claim 218 wherein R¹ and R² are each n-butyl.

20 231. A compound of claim 218 wherein n is 1 or 2;

R¹ and R² are n-butyl;

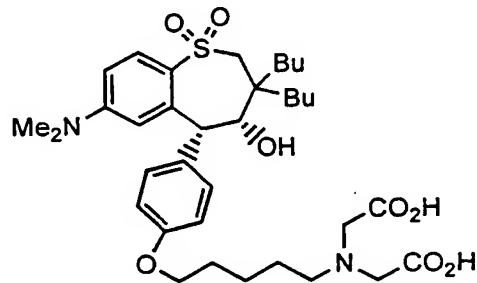
R³ and R⁶ are hydrogen;

R⁴ is hydroxy;

R⁷ and R⁸ are hydrogen; and

one or more Rⁱ are independently selected from methoxy and dimethylamino.

25 232. A compound of claim 218 having the structural formula:

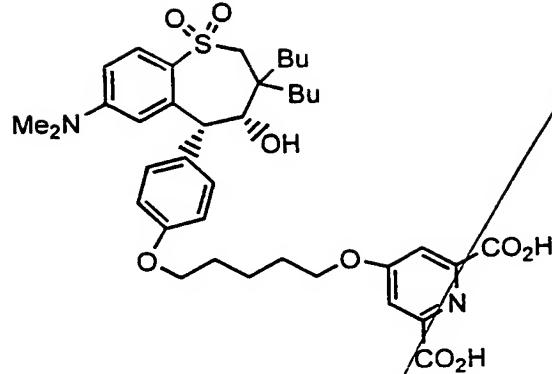


233. A compound of claim 218 having the structural

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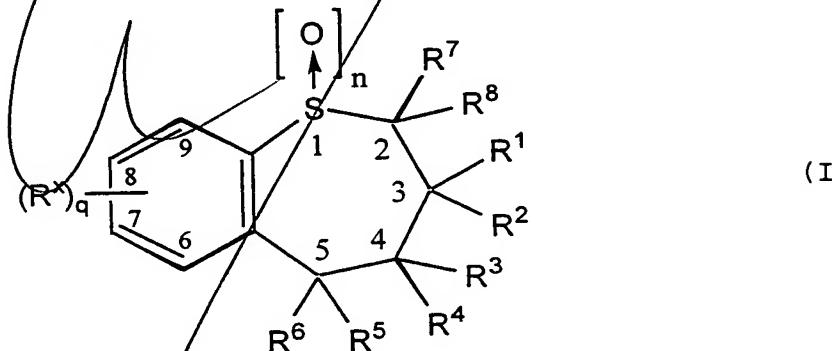
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formula:



234. A compound of formula (I):

5



wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

10 R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR^9 ,

NR^9R^{10} , $\text{N}^+\text{R}^9\text{R}^{10}\text{R}^W\text{A}^-$, SR^9 , $\text{S}^+\text{R}^9\text{R}^{10}\text{A}^-$. $\text{P}^+\text{R}^9\text{R}^{10}\text{R}^{11}\text{A}^-$,
 S(O)R^9 , SO_2R^9 , SO_3R^9 , CO_2R^9 , CN , halogen, oxo, and
 $\text{CONR}^9\text{R}^{10}$,

5 wherein alkyl, alkenyl, alkynyl, alkylaryl,
 alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl
 optionally have one or more carbons replaced by O , NR^9 ,
 $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$, S , SO , SO_2 , $\text{S}^+\text{R}^9\text{A}^-$, $\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$, or phenylene,

10 wherein R^9 , R^{10} , and R^W are independently selected
 from the group consisting of H, alkyl, alkenyl,
 alkynyl, cycloalkyl, aryl, acyl, heterocycle,
 ammoniumalkyl, arylalkyl, carboxyalkyl,
 carboxyheteroaryl, carboxyheterocycle,
 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,
 heterocyclalkyl, and alkylammoniumalkyl; or

15 R^1 and R^2 taken together with the carbon to which
 they are attached form $\text{C}_3\text{-C}_{10}$ cycloalkyl;

20 R^3 and R^4 are independently selected from the
 group consisting of H, alkyl, alkenyl, alkynyl,
 acyloxy, aryl, heterocycle, OR^9 , NR^9R^{10} , SR^9 , S(O)R^9 ,
 SO_2R^9 , and SO_3R^9 , wherein R^9 and R^{10} are as defined
 above; or

25 R^3 and R^4 together form $=\text{O}$, $=\text{NOR}^{11}$, $=\text{S}$, $=\text{NNR}^{11}\text{R}^{12}$,
 $=\text{NR}^9$, or $=\text{CR}^{11}\text{R}^{12}$,

30 wherein R^{11} and R^{12} are independently selected
 from the group consisting of H, alkyl, alkenyl,
 alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl,
 heterocycle, carboxyalkyl, carboalkoxyalkyl,
 cycloalkyl, cyanoalkyl, OR^9 , NR^9R^{10} , SR^9 , S(O)R^9 ,
 SO_2R^9 , SO_3R^9 , CO_2R^9 , CN , halogen, oxo, and $\text{CONR}^9\text{R}^{10}$,

wherein R^9 and R^{10} are as defined above, provided that both R^3 and R^4 cannot be OH, NH₂, and SH, or

R^{11} and R^{12} together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

5 R^5 is aryl substituted with one or more OR^{13b} ,

wherein R^{13b} is selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

15 R^{13b} is substituted with one or more groups selected from the group consisting of NR^9R^{10a} , $CONR^9R^{10a}$, $SO_2NR^9R^{10a}$, $P^+R^9R^{10a}R^{11}A^-$, and $S^+R^9R^{10a}A^-$,

wherein A^- is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

20 wherein R^{10a} is selected from the group consisting of carboxyalkyl, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, and heterocyclalkyl; or

25 R^6 is selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR^{30} , SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 ,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the

group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}CO_2R^{14}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}SOR^{14}$, $NR^{13}SO_2R^{14}$, $NR^{13}SONR^{14}R^{15}$, $NR^{13}SO_2NR^{14}R^{15}$, $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,

wherein:

A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 , NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo, $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$, $P^+R^7R^8R^9A^-$, and $P(O)(OR^7)OR^8$, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR^7 , $N^+R^7R^8A^-$, S, SO, SO_2 , $S^+R^7A^-$, PR^7 , $P(O)R^7$, $P^+R^7R^8A^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylkyl,

^

alkylheteroarylalkyl, alkylheterocyclalkyl,
 cycloalkyl, heterocycle, heteroaryl, quaternary
 heterocycle, quaternary heteroaryl, heterocyclalkyl,
 heteroarylalkyl, quaternary heterocyclalkyl,
 5 quaternary heteroarylalkyl, alkylammoniumalkyl, and
 carboxyalkylaminocarbonylalkyl,
 wherein alkyl, alkenyl, alkynyl, arylalkyl,
 heterocycle, and polyalkyl optionally have one or more
 carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂,
 10 S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, P(O)R⁹, phenylene, carbohydrate,
 amino acid, peptide, or polypeptide and
 15 R¹³, R¹⁴, and R¹⁵ are optionally substituted with
 one or more groups selected from the group consisting
 of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl,
 heterocycle, heteroaryl, sulfoalkyl, quaternary
 20 heterocycle, quaternary heteroaryl, quaternary
 heterocyclalkyl, quaternary heteroarylalkyl,
 guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹,
 SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM,
 SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A-, S⁺R⁹R¹⁰A-, and
 C(O)OM,
 25 wherein R¹⁶ and R¹⁷ are independently selected
 from the substituents constituting R⁹ and M; or
 R¹³ and R¹⁴, together with the nitrogen atom to
 which they are attached form a mono- or polycyclic
 heterocycle that is optionally substituted with one or
 more radicals selected from the group consisting of
 oxo, carboxy and quaternary salts; or
 30 R¹⁴ and R¹⁵, together with the nitrogen atom to
 which they are attached, form a cyclic ring; and
 R³⁰ is selected from the group consisting of alkyl,
 alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,

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ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

5 R⁷ and R⁸ are independently selected from the group consisting of hydrogen and alkyl; and

one or more R^X are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, 10 polyether, quaternary heterocycle, quaternary heteroaryl, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, S(O)₂R¹³, SO₃R¹³, S⁺R¹³R¹⁴A-, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)NR¹³R¹⁴, 15 NR¹⁴C(O)R¹³, C(O)OM, COR¹³, OR¹⁸, S(O)_nNR¹⁸, NR¹³R¹⁸, NR¹⁸OR¹⁴, N⁺R⁹R¹¹R¹²A-, P⁺R⁹R¹¹R¹²A-, amino acid, peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, 20 polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A-, S⁺R⁹R¹⁰A-, or C(O)OM, and

25 wherein R¹⁸ is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, 30 and quaternary heteroaryl optionally are substituted

with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_3R^9 , SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,

5 wherein in R^X , one or more carbons are optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$, PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

10 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, or $P(O)R^9$;

15 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$, or

20 a pharmaceutically acceptable salt, solvate, or prodrug thereof.

235. A compound of claim 234 wherein:

30 R^5 is phenyl substituted with OR^{13b} ;

R^{13b} is alkyl; and

R^{13b} is substituted with NR^9R^{10a} ; and

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R⁹ is hydrogen; and
R¹⁰ is heteroarylalkyl.

236. A compound of claim 234 wherein n is 1 or 2.

5

237. A compound of claim 234 wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen and alkyl.

10

238. A compound of claim 234 wherein R⁷ and R⁸ are hydrogen.

15

239. A compound of claim 234 wherein R³ and R⁴ are independently selected from the group consisting of hydrogen and OR⁹.

240. A compound of claim 234 wherein R³ is hydrogen and R⁴ is hydroxy.

20

241. A compound of claim 234 wherein one or more R^x are independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

25

242. A compound of claim 234 wherein one or more R^x are independently selected from methoxy and dimethylamino.

30

243. A compound of claim 234 wherein R¹ and R² are independently selected from the group consisting of hydrogen and alkyl.

244. A compound of claim 234 wherein R¹ and R² are independently selected from the group consisting alkyl.

35

245. A compound of claim 234 wherein R¹ and R² are

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the same alkyl.

246. A compound of claim 234 wherein R¹ and R² are each n-butyl.

5

247. A compound of claim 234 wherein
n is 1 or 2;

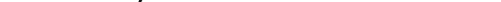
R^1 and R^2 are n-butyl;

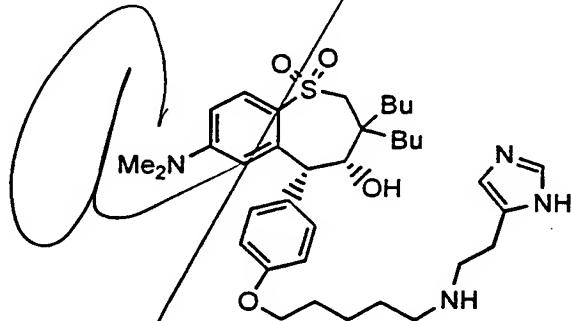
R^3 and R^6 are hydrogen;

10 R⁴ is hydroxy;

R^7 and R^8 are hydrogen; and

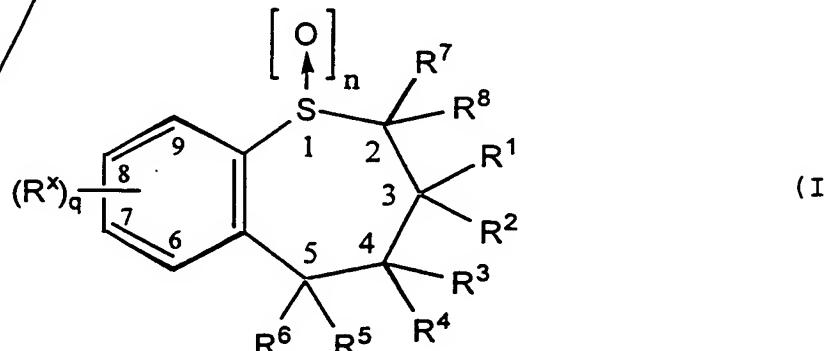
one or more R^x are independently selected from oxy and dimethylamino.

15 248. A compound of claim 234 having the structural
formula: 



249. A compound of formula (I):

20



/wherein:

q is an integer from 1 to 4;

n is an integer from 0 to 2;

R¹ and R² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹⁰R^WA⁻, SR⁹, S⁺R⁹R¹⁰A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, P⁺R⁹R¹⁰A⁻, or phenylene,

wherein R⁹, R¹⁰, and R^W are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

R¹ and R² taken together with the carbon to which they are attached form C₃-C₁₀ cycloalkyl;

R³ and R⁴ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, acyloxy, aryl, heterocycle, OR⁹, NR⁹R¹⁰, SR⁹, S(O)R⁹,

SO_2R^9 , and SO_3R^9 , wherein R^9 and R^{10} are as defined above; or

R^3 and R^4 together form $=\text{O}$, $=\text{NOR}^{11}$, $=\text{S}$, $=\text{NNR}^{11}\text{R}^{12}$, $=\text{NR}^9$, or $=\text{CR}^{11}\text{R}^{12}$,

5 wherein R^{11} and R^{12} are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, arylalkyl, alkenylalkyl, alkynylalkyl, heterocycle, carboxyalkyl, carboalkoxyalkyl,

10 cycloalkyl, cyanoalkyl, OR^9 , NR^9R^{10} , SR^9 , S(O)R^9 , SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $\text{CONR}^9\text{R}^{10}$,

wherein R^9 and R^{10} are as defined above, provided that both R^3 and R^4 cannot be OH, NH_2 , and SH, or

R^{11} and R^{12} together with the nitrogen or carbon atom to which they are attached form a cyclic ring;

15 R^5 is aryl substituted with one or more substituent groups independently selected from the group consisting of $\text{NR}^{13}\text{C(O)R}^{14}$, $\text{NR}^{13}\text{C(O)NR}^{14}\text{R}^{15}$, $\text{NR}^{13}\text{CO}_2\text{R}^{14}$, OC(O)R^{13} , $\text{OCT(O)NR}^{13}\text{R}^{14}$, $\text{NR}^{13}\text{SOR}^{14}$, $\text{NR}^{13}\text{SO}_2\text{R}^{14}$, $\text{NR}^{13}\text{SONR}^{14}\text{R}^{15}$, and $\text{NR}^{13}\text{SO}_2\text{NR}^{14}\text{R}^{15}$,

20 wherein:

R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

30 R^{13} , R^{14} , and R^{15} are optionally substituted with

one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl,
5 guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM,
SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A⁻, S⁺R⁹R¹⁰A⁻, and C(O)OM,

10 wherein A⁻ is an pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

wherein R¹⁶ and R¹⁷ are independently selected
from the substituents constituting R⁹ and M; or
15 R¹³ and R¹⁴, together with the nitrogen atom to
which they are attached form a mono- or polycyclic
heterocycle that is optionally substituted with one or
more radicals selected from the group consisting of
oxo, carboxy and quaternary salts; or

20 R¹⁴ and R¹⁵, together with the nitrogen atom to
which they are attached, form a cyclic ring; and

R⁶ is selected from the group consisting of H,
alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle,
quaternary heterocycle, OR³⁰, SR⁹, S(O)R⁹, SO₂R⁹, and
SO₃R⁹,

25 wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl,
heterocycle, quaternary heterocycle, and quaternary
heteroaryl can be substituted with one or more
substituent groups independently selected from the
group consisting of alkyl, alkenyl, alkynyl, polyalkyl,
30 polyether, aryl, haloalkyl, cycloalkyl, heterocycle,
arylaalkyl, quaternary heterocycle, quaternary

heteroaryl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$,
 SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN ,
 OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} ,
 $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}CO_2R^{14}$, $OC(O)R^{13}$,
5 $OC(O)NR^{13}R^{14}$, $NR^{13}SOR^{14}$, $NR^{13}SO_2R^{14}$, $NR^{13}SONR^{14}R^{15}$,
 $NR^{13}SO_2NR^{14}R^{15}$, $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$,
 $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,
wherein:

10 A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 ,
15 NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo,
 $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$,
 $P^+R^7R^8R^9A^-$, and $P(O)(OR^7)OR^8$, and

20 wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR^7 , $N^+R^7R^8A^-$, S, SO, SO_2 , $S^+R^7A^-$, PR^7 , $P(O)R^7$, $P^+R^7R^8A^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are
25 independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl,

heteroarylalkyl, quaternary heterocyclalkyl,
quaternary heteroarylalkyl, alkylammoniumalkyl, and
carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl,
5 heterocycle, and polyalkyl optionally have one or more
carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂,
S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, P(O)R⁹, phenylene, carbohydrate,
amino acid, peptide, or polypeptide, and

10 R¹³, R¹⁴, and R¹⁵ are optionally substituted with
one or more groups selected from the group consisting
of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl,
heterocycle, heteroaryl, sulfoalkyl, quaternary
heterocycle, quaternary heteroaryl, quaternary
heterocyclalkyl, quaternary heteroarylalkyl,
15 guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹,
SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM,
SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A-, S⁺R⁹R¹⁰A-, and
C(O)OM,

20 wherein R¹⁶ and R¹⁷ are independently selected
from the substituents constituting R⁹ and M; or
R¹³ and R¹⁴, together with the nitrogen atom to
which they are attached form a mono- or polycyclic
heterocycle that is optionally substituted with one or
more radicals selected from the group consisting of
25 oxo, carboxy and quaternary salts; or

20 R¹⁴ and R¹⁵, together with the nitrogen atom to
which they are attached, form a cyclic ring; and
R³⁰ is selected from the group consisting of alkyl,
alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,
30 ammoniumalkyl, alkylammoniumalkyl, arylalkyl,
carboxyalkyl, carboxyheteroaryl, carboxyheterocycle,
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,

heterocyclalkyl, and alkylammoniumalkyl; and

R^7 and R^8 are independently selected from the group consisting of hydrogen and alkyl; and

one or more R^X are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)2R^{13}$,
 SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} ,
 CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$,
 $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$,
 $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$, $P^+R^9R^{11}R^{12}A^-$, amino acid,
peptide, polypeptide, and carbohydrate,
wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl,
polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl,
polyether, quaternary heterocycle, and quaternary
heteroaryl can be further substituted with OR^9 , NR^9R^{10} ,
 $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 ,
 CN , halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$,
 $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and
wherein R^{18} is selected from the group consisting
of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle,
heteroaryl, alkyl,
wherein acyl, arylalkoxycarbonyl, arylalkyl,
heterocycle, heteroaryl, alkyl, quaternary heterocycle,
and quaternary heteroaryl optionally are substituted
with one or more substituents selected from the group
consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$,
 SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $CONR^9R^{10}$, SO_3R^9 ,

SO_2OM , $\text{SO}_2\text{NR}^9\text{R}^{10}$, $\text{PO}(\text{OR}^{16})\text{OR}^{17}$, and C(O)OM ,

wherein in R^* , one or more carbons are optionally replaced by O, NR^{13} , $\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, S, SO, SO_2 , $\text{S}^+\text{R}^{13}\text{A}^-$, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$, S, SO, SO_2 , $\text{S}^+\text{R}^9\text{A}^-$, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻, or

a pharmaceutically acceptable salt, solvate, or prodrug thereof.

250. A compound of claim 249 wherein R⁵ is aryl substituted with a radical selected from the group consisting of NR¹³C(O)NR¹⁴R¹⁵ and NR¹³CO₂R¹⁴.

251. A compound of claim 249 wherein R⁵ is phenyl substituted with a radical selected from the group consisting of NR¹³C(O)NR¹⁴R¹⁵ and NR¹³CO₂R¹⁴.

252. A compound of claim 249 wherein n is 1 or 2.

5 253. A compound of claim 249 wherein R⁷ and R⁸ are independently selected from the group consisting of hydrogen and alkyl.

10 254. A compound of claim 249 wherein R⁷ and R⁸ are hydrogen.

255. A compound of claim 249 wherein R³ and R⁴ are independently selected from the group consisting of hydrogen and OR⁹.

15 256. A compound of claim 249 wherein R³ is hydrogen and R⁴ is hydroxy.

20 257. A compound of claim 249 wherein one or more R^x are independently selected from the group consisting of OR¹³ and NR¹³R¹⁴.

258. A compound of claim 249 wherein one or more R^x are independently selected from methoxy and dimethylamino.

25 259. A compound of claim 249 wherein R¹ and R² are independently selected from the group consisting of hydrogen and alkyl.

30 260. A compound of claim 249 wherein R¹ and R² are independently selected from the group consisting alkyl.

261. A compound of claim 249 wherein R¹ and R² are the same alkyl.

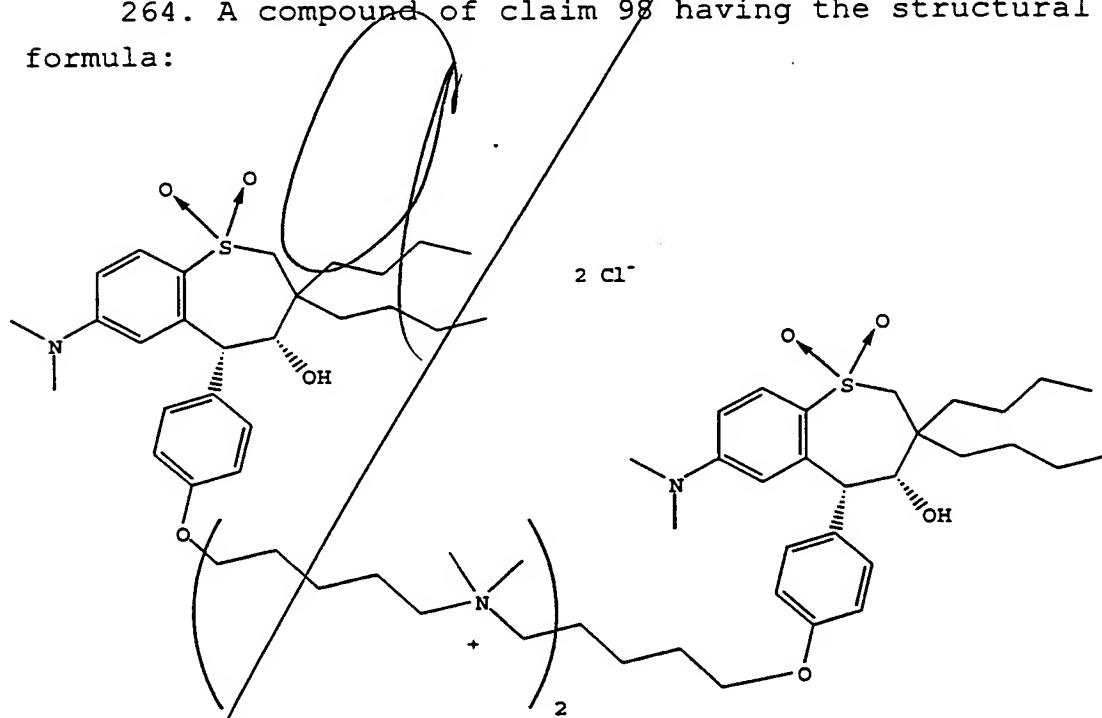
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262. A compound of claim 249 wherein R¹ and R² are each n-butyl.

5 263. A compound of claim 249 wherein
n is 1 or 2;
R¹ and R² are n-butyl;
R³ and R⁶ are hydrogen;
R⁴ is hydroxy;
R⁷ and R⁸ are hydrogen; and
10 one or more R^x are independently selected from
methoxy and dimethylamino.

15 264. A compound of claim 98 having the structural
formula:



20 265. A pharmaceutical composition comprising an
anti-hyperlipidemic condition effective amount of a
compound of formula (I) of claim 170, and

a pharmaceutically acceptable carrier.

266. A pharmaceutical composition comprising an
anti-atherosclerotic effective amount of a compound of
5 formula (I) of claim 170, and
a pharmaceutically acceptable carrier.

267. A pharmaceutical composition comprising an
anti-hypercholesterolemia effective amount of a
10 compound of formula (I) of claim 170, and
a pharmaceutically acceptable carrier.

268. A method for the prophylaxis or treatment of
a hyperlipidemic condition comprising administering to
15 a patient in need thereof a composition of claim 265 in
unit dosage form.

269. A method for the prophylaxis or treatment of
an atherosclerotic condition comprising administering
20 to a patient in need thereof a composition of claim 266
in unit dosage form.

270. A method for the prophylaxis or treatment of
hypercholesterolemia comprising administering to a
25 patient in need thereof a composition of claim 267 in
unit dosage form.

271. A pharmaceutical composition comprising an
anti-hyperlipidemic condition effective amount of a
30 compound of formula (I) of claim 180, and
a pharmaceutically acceptable carrier.

272. A pharmaceutical composition comprising an
anti-atherosclerotic effective amount of a compound of
35 formula (I) of claim 180, and

a pharmaceutically acceptable carrier.

273. A pharmaceutical composition comprising an
anti-hypercholesterolemia effective amount of a
5 compound of formula (I) of claim 180, and
a pharmaceutically acceptable carrier.

274. A method for the prophylaxis or treatment of
a hyperlipidemic condition comprising administering to
10 a patient in need thereof a composition of claim 271 in
unit dosage form.

275. A method for the prophylaxis or treatment of
an atherosclerotic condition comprising administering
15 to a patient in need thereof a composition of claim 272
in unit dosage form.

276. A method for the prophylaxis or treatment of
hypercholesterolemia comprising administering to a
20 patient in need thereof a composition of claim 273 in
unit dosage form.

277. A pharmaceutical composition comprising an
anti-hyperlipidemic condition effective amount of a
25 compound of formula (I) of claim 201, and
a pharmaceutically acceptable carrier.

278. A pharmaceutical composition comprising an
anti-atherosclerotic effective amount of a compound of
30 formula (I) of claim 201, and
a pharmaceutically acceptable carrier.

279. A pharmaceutical composition comprising an
anti-hypercholesterolemia effective amount of a
35 compound of formula (I) of claim 201, and

a pharmaceutically acceptable carrier.

280. A method for the prophylaxis or treatment of
a hyperlipidemic condition comprising administering to
5 a patient in need thereof a composition of claim 277 in
unit dosage form.

10 281. A method for the prophylaxis or treatment of
an atherosclerotic condition comprising administering
to a patient in need thereof a composition of claim 278
in unit dosage form.

15 282. A method for the prophylaxis or treatment of
hypercholesterolemia comprising administering to a
patient in need thereof a composition of claim 279 in
unit dosage form.

20 283. A pharmaceutical composition comprising an
anti-hyperlipidemic condition effective amount of a
compound of formula (I) of claim 218, and
a pharmaceutically acceptable carrier.

25 284. A pharmaceutical composition comprising an
anti-atherosclerotic effective amount of a compound of
formula (I) of claim 218, and
a pharmaceutically acceptable carrier.

30 285. A pharmaceutical composition comprising an
anti-hypercholesterolemia effective amount of a
compound of formula (I) of claim 218, and
a pharmaceutically acceptable carrier.

35 286. A method for the prophylaxis or treatment of
a hyperlipidemic condition comprising administering to
a patient in need thereof a composition of claim 283 in

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unit dosage form.

287. A method for the prophylaxis or treatment of
an atherosclerotic condition comprising administering
5 to a patient in need thereof a composition of claim 284
in unit dosage form.

10 288. A method for the prophylaxis or treatment of
hypercholesterolemia comprising administering to a
patient in need thereof a composition of claim 285 in
unit dosage form.

15 289. A pharmaceutical composition comprising an
anti-hyperlipidemic condition effective amount of a
compound of formula (I) of claim 234, and
a pharmaceutically acceptable carrier.

20 290. A pharmaceutical composition comprising an
anti-atherosclerotic effective amount of a compound of
formula (I) of claim 234, and
a pharmaceutically acceptable carrier.

25 291. A pharmaceutical composition comprising an
anti-hypercholesterolemia effective amount of a
compound of formula (I) of claim 234, and
a pharmaceutically acceptable carrier.

30 292. A method for the prophylaxis or treatment of
a hyperlipidemic condition comprising administering to
a patient in need thereof a composition of claim 289 in
unit dosage form.

35 293. A method for the prophylaxis or treatment of
an atherosclerotic condition comprising administering
to a patient in need thereof a composition of claim 290

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in unit dosage form.

294. A method for the prophylaxis or treatment of hypercholesterolemia comprising administering to a patient in need thereof a composition of claim 291 in unit dosage form.

295. A pharmaceutical composition comprising an anti-hyperlipidemic condition effective amount of a compound of formula (I) of claim 249, and a pharmaceutically acceptable carrier.

296. A pharmaceutical composition comprising an anti-atherosclerotic effective amount of a compound of formula (I) of claim 249, and a pharmaceutically acceptable carrier.

297. A pharmaceutical composition comprising an anti-hypercholesterolemia effective amount of a compound of formula (I) of claim 249, and a pharmaceutically acceptable carrier.

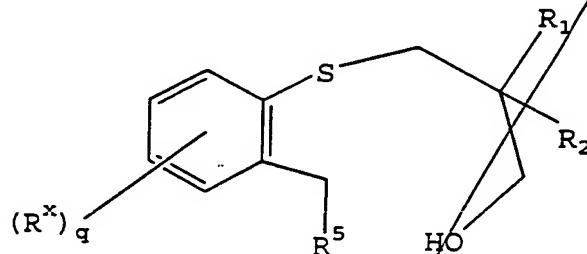
298. A method for the prophylaxis or treatment of a hyperlipidemic condition comprising administering to a patient in need thereof a composition of claim 295 in unit dosage form.

299. A method for the prophylaxis or treatment of an atherosclerotic condition comprising administering to a patient in need thereof a composition of claim 296 in unit dosage form.

300. A method for the prophylaxis or treatment of hypercholesterolemia comprising administering to a patient in need thereof a composition of claim 297 in

unit dosage form.

301. A process for the preparation of a compound having the formula:



5

comprising:

treating a thiophenol with an abstracting agent; coupling the thiophenyl and a cyclic sulfate to form an intermediate comprising a sulfate group; and 10 removing the sulfate group of the intermediate to form the compound of formula XLI;

wherein

q is an integer from 1 to 4;

15 R¹ and R² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

20 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹⁰R^wA⁻, SR⁹, S⁺R⁹R¹⁰A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹, SO₂R⁹, 25 SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR⁹,

$N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene,
wherein R^9 , R^{10} , and R^* are independently selected
from the group consisting of H, alkyl, alkenyl,
alkynyl, cycloalkyl, aryl, acyl, heterocycle,
5 ammoniumalkyl, arylalkyl, carboxyalkyl,
carboxyheteroaryl, carboxyheterocycle,
carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,
heterocyclalkyl, and alkylammoniumalkyl; or
 R^1 and R^2 taken together with the carbon to which
10 they are attached form C_3-C_{10} cycloalkyl;

R^3 is hydroxy;

R^4 is hydrogen;

R^5 and R^6 are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl, aryl,
cycloalkyl, heterocycle, quaternary heterocycle, OR^{30} ,
15 SR^9 , $S(O)R^9$, SO_2R^9 , and SO_3R^9 ,
wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl,
heterocycle, quaternary heterocycle, and quaternary
heteroaryl can be substituted with one or more
20 substituent groups independently selected from the
group consisting of alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, heterocycle,
arylalkyl, quaternary heterocycle, quaternary
heteroaryl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$,
25 SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN,
 OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} ,
 $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}CO_2R^{14}$, $OC(O)R^{13}$,
 $OC(O)NR^{13}R^{14}$, $NR^{13}SOR^{14}$, $NR^{13}SO_2R^{14}$, $NR^{13}SONR^{14}R^{15}$,
30 $NR^{13}SO_2NR^{14}R^{15}$, $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$,
 $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,

wherein:

A^- is a pharmaceutically acceptable anion and M is

a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can be further substituted with one or more substituent
groups selected from the group consisting of OR⁷,

NR⁷R⁸, SR⁷, S(O)R⁷, SO₂R⁷, SO₃R⁷, CO₂R⁷, CN, oxo,
CONR⁷R⁸, N⁺R⁷R⁸A-, alkyl, alkenyl, alkynyl, aryl,
cycloalkyl, heterocycle, arylalkyl, quaternary

heterocycle, quaternary heteroaryl, P(O)R⁷R⁸,

P⁺R⁷R⁸A-, and P(O)(OR⁷)OR⁸, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl,
polyether, aryl, haloalkyl, cycloalkyl, and heterocycle
can optionally have one or more carbons replaced by O,

NR⁷, N⁺R⁷R⁸A-, S, SO, SO₂, S⁺R⁷A-, PR⁷, P(O)R⁷,

P⁺R⁷R⁸A-, or phenylene, and R¹³, R¹⁴, and R¹⁵ are

independently selected from the group consisting of

hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,

polyether, aryl, arylalkyl, alkylarylalkyl,

alkylheteroarylalkyl, alkylheterocyclalkyl,

cycloalkyl, heterocycle, heteroaryl, quaternary

heterocycle, quaternary heteroaryl, heterocyclalkyl,

heteroarylalkyl, quaternary heterocyclalkyl,

quaternary heteroarylalkyl, alkylammoniumalkyl, and

carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl,

heterocycle, and polyalkyl optionally have one or more

carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂,

S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, P(O)R⁹, phenylene, carbohydrate,

amino acid, peptide, or polypeptide, and

R¹³, R¹⁴, and R¹⁵ are optionally substituted with

one or more groups selected from the group consisting

of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl,
5 guanidinyl, OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, $P^+R^9R^{10}R^{11}A^-$, $S^+R^9R^{10}A^-$, and $C(O)OM$,

wherein R^{16} and R^{17} are independently selected
10 from the substituents constituting R^9 and M; or
 R^{13} and R^{14} , together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or
15

R^{14} and R^{15} , together with the nitrogen atom to which they are attached, form a cyclic ring; and
20 R^{30} is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

25 R^7 and R^8 are hydrogen; and
one or more R^x are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary
30 heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)_2R^{13}$, SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$, $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$,

$P^+R^9R^{11}R^{12}A^-$, amino acid, peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, 5 polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and

10 wherein R^{18} is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

15 wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 , SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $CONR^9R^{10}$, SO_3R^9 , SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, and $C(O)OM$,

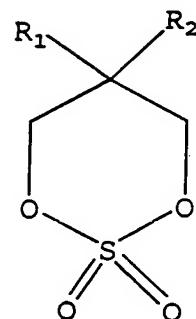
20 wherein in R^x , one or more carbons are optionally replaced by O, NR^{13} , $N^+R^{13}R^{14}A^-$, S, SO, SO_2 , $S^+R^{13}A^-$, PR^{13} , $P(O)R^{13}$, $P^+R^{13}R^{14}A^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

25 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO_2 , $S^+R^9A^-$, PR^9 , $P^+R^9R^{10}A^-$, or $P(O)R^9$;

30 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $SO_2NR^{13}R^{14}$, 35 $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$,

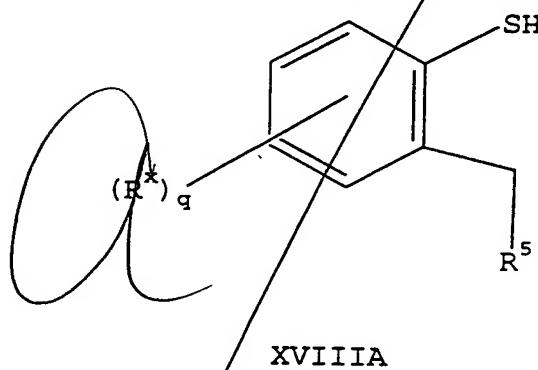
$P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$.

302. The process of claim 301 wherein, the cyclic sulfate has the formula:



5

XL
and the thiophenol has the formula:



10 wherein R^1 , R^2 , R^5 , R^* and q are as defined in
claim 301.

15 303. The process of claim 301 wherein the sulfate group is removed by treating the intermediate with a hydrolyzing agent.

304. The process of claim 303 wherein the hydrolyzing agent is a mineral acid.

305. The process of claim 303 wherein the

hydrolyzing agent is selected from the group consisting of hydrochloric acid and sulfuric acid.

5 306. The process of claim 302 wherein the abstracting agent is a base having a pH of at least about 10.

10 307. The process of claim 302 wherein the abstracting agent is an alkali metal hydride.

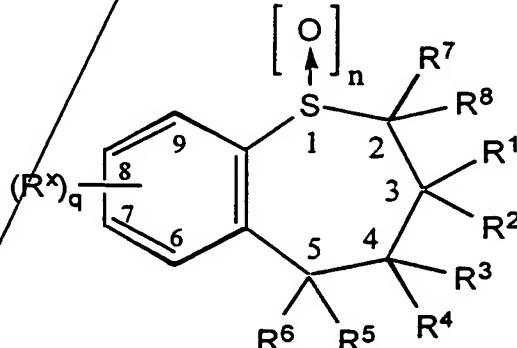
15 308. The process of claim 302 wherein the abstracting agent is sodium hydride.

20 309. The process of claim 302 wherein the R¹ and R² are alkyl.

25 310. The process of claim 302 wherein the R¹ and R² are selected from the group consisting of ethyl, n-butyl, iso-butyl and pentyl.

311. The process of claim 302 wherein the R¹ and R² are n-butyl.

312. A process for the preparation of a compound having the formula I:



comprising:

reacting a cyclic sulfate with a thiophenol to form an alcohol;
oxidizing said alcohol to form a sulfone-aldehyde; and

5 cyclizing said sulfone-aldehyde to form the compound of formula I;

wherein:

q is an integer from 1 to 4;

n is 2;

10 R^1 and R^2 are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

15 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{10}R^wA^-$, SR^9 , $S^+R^9R^{10}A^-$, $P^+R^9R^{10}R^{11}A^-$, $S(O)R^9$, SO_2R^9 , SO_3R^9 , CO_2R^9 , CN, halogen, oxo, and $CONR^9R^{10}$,

20 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR^9 ,

25 $N^+R^9R^{10}A^-$, S, SO , SO_2 , $S^+R^9A^-$, $P^+R^9R^{10}A^-$, or phenylene, wherein R^9 , R^{10} and R^w are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, arylalkyl, carboxyalkyl,

30 ammoniumalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

R^1 and R^2 taken together with the carbon to which they are attached form C_3-C_{10} cycloalkyl;

35 R^3 is hydroxy;

R⁴ is hydrogen;

R⁵ and R⁶ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, OR³⁰, SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the

group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary

heteroaryl, halogen, exo, OR¹³R¹⁴, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN,

OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³CO₂R¹⁴, OC(O)R¹³,

OC(O)NR¹³R¹⁴, NR¹³SOR¹⁴, NR¹³SO₂R¹⁴, NR¹³SONR¹⁴R¹⁵,

NR¹³SO₂NR¹⁴R¹⁵, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻,

wherein:

A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR⁷, NR⁷R⁸, SR⁷, S(O)R⁷, SO₂R⁷, SO₃R⁷, CO₂R⁷, CN, oxo, CONR⁷R⁸, N⁺R⁷R⁸R⁹A⁻, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, P(O)R⁷R⁸,

$P^+R^7R^8R^9A^-$, and $P(O)(OR^7)OR^8$, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O,

5 NR^7 , $N^+R^7R^8A^-$, S, SO, SO₂, $S^+R^7A^-$, PR⁷, P(O)R⁷,

$P^+R^7R^8A^-$, or phenylene, and R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl,

10 polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and

15 carboxyalkylaminocarbonylalkyl,

wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by O, NR⁹, $N^+R^9R^{10}A^-$, S, SO, SO₂,

20 $S^+R^9A^-$, PR⁹, $P^+R^9R^{10}A^-$, P(O)R⁹, phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

25 R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl,

guanidinyl, OR⁹, NR⁹R¹⁰, $N^+R^9R^{11}R^{12}A^-$, SR⁹, S(O)R⁹,

SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, EO(OR¹⁶)OR¹⁷, $P^+R^9R^{10}R^{11}A^-$, $S^+R^9R^{10}A^-$, and

30 C(O)OM,

wherein R¹⁶ and R¹⁷ are independently selected

from the substituents constituting R⁹ and M; or
 5 R¹³ and R¹⁴, together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

R¹⁴ and R¹⁵, together with the nitrogen atom to which they are attached, form a cyclic ring; and
 10 R³⁰ is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

15 R⁷ and R⁸ are hydrogen; and
 one or more R⁷ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl,
 20 polyether, quaternary heterocycle, quaternary heteroaryl, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, S(O)₂R¹³, SO₃R¹³, S⁺R¹³R¹⁴A⁻, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)NR¹³R¹⁴, NR¹⁴C(O)R¹³, C(O)OM, COR¹³, OR¹⁸, S(O)_nNR¹⁸, NR¹³R¹⁸, NR¹⁸OR¹⁴, N⁺R⁹R¹¹R¹²A⁻,
 25 P⁺R⁹R¹¹R¹²A⁻, amino acid, peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹¹R¹²A⁻, S⁺R⁹R¹⁰A⁻, or C(O)OM, and

wherein R¹⁸ is selected from the group consisting

of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₃R⁹, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, and C(O)OM,

wherein in R^x, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

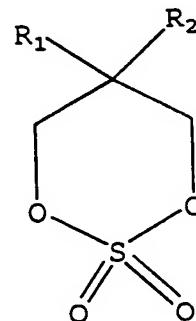
wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻.

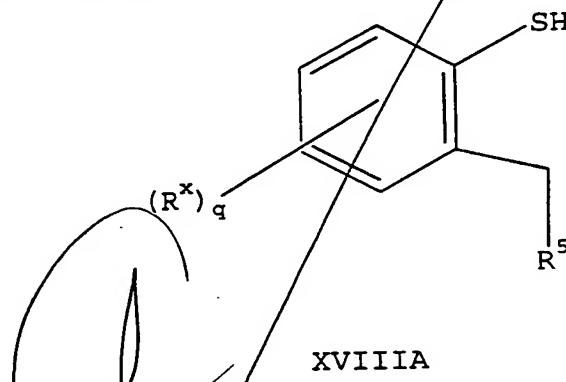
313. The process of claim 312 wherein the cyclic sulfate has the formula:

SRL 6071
(C-3021/2)

650



and the thiophenol has the formula:



5 wherein R¹, R², R⁵, R^x and q are as defined in
claim 312.

10 314. The process of claim 313 wherein the R¹ and
R² are alkyl.

315. The process of claim 313 wherein the R¹ and
R² are selected from the group consisting of ethyl, n-
butyl, iso-butyl and pentyl.

15 316. The process of claim 313 wherein the R¹ and
R² are n-butyl.

317. The process of claim 313 wherein the alcohol
is oxidized with an oxidizing agent to form an

aldehyde.

318. The process of claim 317 wherein the aldehyde is oxidized with an oxidizing agent to form a sulfone-aldehyde.

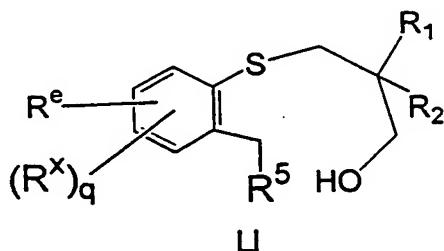
319. The process of claim 313 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is a base having a pH between about 8 to about 9.

320. The process of claim 313 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is an alkali alkoxide base.

321. The process of claim 313 wherein the sulfone-aldehyde is cyclized with potassium tert-butoxide.

322. The process of claim 313 wherein the alcohol is oxidized with pyridinium chlorochromate to form an aldehyde; the aldehyde is oxidized with metachloroperbenzoic acid to form a sulfone-aldehyde; and the sulfone-aldehyde is cyclized with potassium tert-butoxide.

323. A process for the preparation of a compound having the formula LI:



comprising:
treating a halobenzene with an abstracting agent;

SRL 6071
(C-3021/2)

652

coupling the halobenzene and a cyclic sulfate to form an intermediate comprising a sulfate group; and removing the sulfate group of the intermediate to form the compound of formula LI; wherein

5 q is an integer from 1 to 4;

R¹ and R² are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl,

10 wherein alkyl, alkenyl, alkynyl, haloalkyl, alkylaryl, arylalkyl, alkoxy, alkoxyalkyl, dialkylamino, alkylthio, (polyalkyl)aryl, and cycloalkyl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹⁰R^wA⁻, SR⁹, S⁺R⁹R¹⁰A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹, SO₂R⁹, SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

15 wherein alkyl, alkenyl, alkynyl, alkylaryl, alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl optionally have one or more carbons replaced by O, NR⁹,

N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, P⁺R⁹R¹⁰A⁻, or phenylene,

wherein R⁹, R¹⁰, and R^w are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle,

25 ammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; or

R¹ and R² taken together with the carbon to which they are attached form C₃-C₁₀ cycloalkyl;

30 R³ is hydroxy;

R⁴ is hydrogen;

R⁵ and R⁶ are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, aryl,

cycloalkyl, heterocycle, quaternary heterocycle, OR³⁰, SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary

10 heteroaryl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, C(O)NR¹³R¹⁴, C(O)OM, COR¹³, NR¹³C(O)R¹⁴, NR¹³C(O)NR¹⁴R¹⁵, NR¹³CO₂R¹⁴, OC(O)R¹³, OC(O)NR¹³R¹⁴, NR¹³SOR¹⁴, NR¹³SO₂R¹⁴, NR¹³SONR¹⁴R¹⁵, 15 NR¹³SO₂NR¹⁴R¹⁵, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻,

wherein:

A⁻ is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

20 said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR⁷, NR⁷R⁸, SR⁷, S(O)R⁷, SO₂R⁷, SO₃R⁷, CO₂R⁷, CN, oxo, CONR⁷R⁸, N⁺R⁷R⁸A⁻, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary 25 heterocycle, quaternary heteroaryl, P(O)R⁷R⁸, P⁺R⁷R⁸R⁹A⁻ and P(O)(OR⁷)OR⁸, and wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle

can optionally have one or more carbons replaced by O, NR⁷, N⁺R⁷R⁸A-, S, SO, SO₂, S⁺R⁷A-, PR⁷, P(O)R⁷, P⁺R⁷R⁸A-, or phenylene, and R¹³, R¹⁴, and R¹⁵ are independently selected from the group consisting of 5 hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,
wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more 10 carbons replaced by O, NR⁹, N⁺R⁹R¹⁰A-, S, SO, SO₂, S⁺R⁹A-, PR⁹, P⁺R⁹R¹⁰A-, P(O)R⁹, phenylene, carbohydrate, amino acid, peptide, or polypeptide, and 15 R¹³, R¹⁴, and R¹⁵ are optionally substituted with one or more groups selected from the group consisting 20 of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A-, SR⁹, S(O)R⁹, 25 SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A-, S⁺R⁹R¹⁰A-, and C(O)OM,
wherein R¹⁶ and R¹⁷ are independently selected 30 from the substituents constituting R⁹ and M; or R¹³ and R¹⁴, together with the nitrogen atom to which they are attached form a mono- or polycyclic

heterocycle that is optionally substituted with one or more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

5 R^{14} and R^{15} , together with the nitrogen atom to which they are attached, form a cyclic ring; and R^{30} is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteraryl, carboxyheterocycle, 10 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

15 R^7 and R^8 are hydrogen; and one or more R^* are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)_2R^{13}$, SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , 20 $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$, $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$, $P^+R^9R^{11}R^{12}A^-$, amino acid, peptide, polypeptide, and carbohydrate,

25 wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, $P^+R^9R^{11}R^{12}A^-$, 30 $S^+R^9R^{10}A^-$, or $C(O)OM$, and

 wherein R^{15} is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

35 wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle,

and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₃R⁹, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, and C(O)OM,

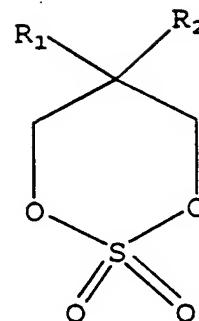
5 wherein in R^x, one or more carbons are optionally replaced by O, NR¹³, N⁺R¹³R¹⁴A⁻, S, SO, SO₂, S⁺R¹³A⁻, PR¹³, P(O)R¹³, P⁺R¹³R¹⁴A⁻, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

10 wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR⁹, N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, PR⁹, P⁺R⁹R¹⁰A⁻, or P(O)R⁹;

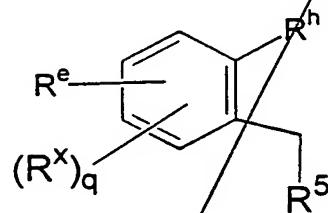
15 wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR¹³, NR¹³R¹⁴, SR¹³, S(O)R¹³, SO₂R¹³, SO₃R¹³, NR¹³OR¹⁴, NR¹³NR¹⁴R¹⁵, NO₂, CO₂R¹³, CN, OM, SO₂OM, SO₂NR¹³R¹⁴, 20 C(O)NR¹³R¹⁴, C(O)OM, COR¹³, P(O)R¹³R¹⁴, P⁺R¹³R¹⁴R¹⁵A⁻, P(OR¹³)OR¹⁴, S⁺R¹³R¹⁴A⁻, and N⁺R⁹R¹¹R¹²A⁻; and

25 R^e is an electron-withdrawing group located at the para or ortho position.

324. The process of claim 323 wherein the cyclic sulfate has the formula:



and the halobenzene has the formula:



wherein R^h is halogen, and R¹, R², R⁵, R^x, R^e and q are as defined in claim 323.

325. The process of claim 324 wherein the sulfate group is removed by treating the intermediate with a hydrolyzing agent.

326. The process of claim 325 wherein the hydrolyzing agent is a mineral acid.

327. The process of claim 325 wherein the hydrolyzing agent is selected from the group consisting of hydrochloric acid and sulfuric acid.

328. The process of claim 324 wherein the abstracting agent is a dialkali metal sulfide.

329. The process of claim 324 wherein the abstracting agent is dilithium sulfide.

330. The process of claim 324 wherein R¹ and R² are alkyl.

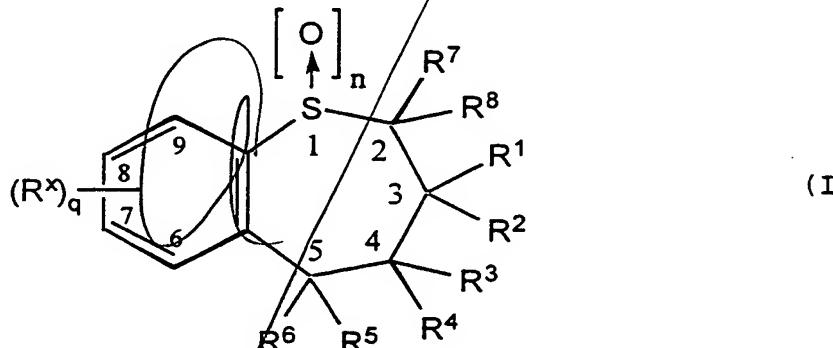
5 331. The process of claim 324 wherein R¹ and R² are selected from the group consisting of ethyl, n-butyl, iso-butyl and pentyl.

10 332. The process of claim 324 wherein R¹ and R² are n-butyl.

15 333. The process of claim 324 wherein R³ is chloro.

20 334. The process of claim 324 wherein R³ is p-nitro.

25 335. A process for the preparation of a compound having the formula I:



comprising:

reacting a cyclic sulfate with a halobenzene to form an alcohol;

oxidizing said alcohol to form a sulfone-aldehyde; and

cyclizing said sulfone-aldehyde to form the compound of formula I;

wherein

q is an integer from 1 to 4;

n is 2;

R¹ and R² are independently selected from the group
5 consisting of H, alkyl, alkenyl, alkynyl, haloalkyl,
alkylaryl, arylalkyl, alkoxy, alkoxyalkyl,
dialkylamino, alkylthio, (polyalkyl)aryl, and
cycloalkyl,

wherein alkyl, alkenyl, alkynyl, haloalkyl,
10 alkylaryl, arylalkyl, alkoxy, alkoxyalkyl,
dialkylamino, alkylthio, (polyalkyl)aryl, and
cycloalkyl optionally are substituted with one or more
substituents selected from the group consisting of OR⁹,
NR⁹R¹⁰R^wA⁻, SR⁹, S⁺R⁹R¹⁰A⁻, P⁺R⁹R¹⁰R¹¹A⁻, S(O)R⁹, SO₂R⁹,
15 SO₃R⁹, CO₂R⁹, CN, halogen, oxo, and CONR⁹R¹⁰,

wherein alkyl, alkenyl, alkynyl, alkylaryl,
alkoxy, alkoxyalkyl, (polyalkyl)aryl, and cycloalkyl
optionally have one or more carbons replaced by O, NR⁹,

20 N⁺R⁹R¹⁰A⁻, S, SO, SO₂, S⁺R⁹A⁻, P⁺R⁹R¹⁰A⁻, or phenylene,
wherein R⁹, R¹⁰, and R^w are independently selected
from the group consisting of H, alkyl, alkenyl,
alkynyl, cycloalkyl, aryl, acyl, heterocycle,
ammoniumalkyl, arylalkyl, carboxyalkyl,
carboxyheteroaryl, carboxyheterocycle,
25 carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl,
heterocyclalkyl, and alkylammoniumalkyl; or

R¹ and R² taken together with the carbon to which
they are attached form C₃-C₁₀ cycloalkyl;

30 R³ is hydroxy;

R⁴ is hydrogen;

R⁵ and R⁶ are independently selected from the
group consisting of H, alkyl, alkenyl, alkynyl, aryl,
cycloalkyl, heterocycle, quaternary heterocycle, OR³⁰,
SR⁹, S(O)R⁹, SO₂R⁹, and SO₃R⁹,

wherein alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, quaternary heterocycle, and quaternary heteroaryl can be substituted with one or more substituent groups independently selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, halogen, oxo, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, SO_2R^{13} , SO_3R^{13} , $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $C(O)NR^{13}R^{14}$, $C(O)OM$, COR^{13} , $NR^{13}C(O)R^{14}$, $NR^{13}C(O)NR^{14}R^{15}$, $NR^{13}CO_2R^{14}$, $OC(O)R^{13}$, $OC(O)NR^{13}R^{14}$, $NR^{13}SOR^{14}$, $NR^{13}SO_2R^{14}$, $NR^{13}SONR^{14}R^{15}$, $NR^{13}SO_2NR^{14}R^{15}$, $P(O)R^{13}R^{14}$, $P^+R^{13}R^{14}R^{15}A^-$, $P(OR^{13})OR^{14}$, $S^+R^{13}R^{14}A^-$, and $N^+R^9R^{11}R^{12}A^-$,

wherein:

A^- is a pharmaceutically acceptable anion and M is a pharmaceutically acceptable cation,

said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can be further substituted with one or more substituent groups selected from the group consisting of OR^7 , NR^7R^8 , SR^7 , $S(O)R^7$, SO_2R^7 , SO_3R^7 , CO_2R^7 , CN , oxo, $CONR^7R^8$, $N^+R^7R^8R^9A^-$, alkyl, alkenyl, alkynyl, aryl, cycloalkyl, heterocycle, arylalkyl, quaternary heterocycle, quaternary heteroaryl, $P(O)R^7R^8$, $P^+R^7R^8R^9A^-$, and $P(O)(OR^7)OR^8$, and

wherein said alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, haloalkyl, cycloalkyl, and heterocycle can optionally have one or more carbons replaced by O, NR^7 , $N^+R^7R^8A^-$, S, SO, SO₂, $S^+R^7A^-$, PR^7 , $P(O)R^7$,

$P^+R^7R^8A^-$, or phenylene, and R^{13} , R^{14} , and R^{15} are independently selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl, arylalkyl, alkylarylalkyl, 5 alkylheteroarylalkyl, alkylheterocyclalkyl, cycloalkyl, heterocycle, heteroaryl, quaternary heterocycle, quaternary heteroaryl, heterocyclalkyl, heteroarylalkyl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, alkylammoniumalkyl, and carboxyalkylaminocarbonylalkyl,

10 wherein alkyl, alkenyl, alkynyl, arylalkyl, heterocycle, and polyalkyl optionally have one or more carbons replaced by O, NR^9 , $N^+R^9R^{10}A^-$, S, SO, SO₂, 15 $S^+R^9A^-$, PR⁹, P⁺R⁹R¹⁰A⁻, P(O)R⁹, phenylene, carbohydrate, amino acid, peptide, or polypeptide, and

20 R^{13} , R^{14} , and R^{15} are optionally substituted with one or more groups selected from the group consisting of hydroxy, amino, sulfo, carboxy, alkyl, carboxyalkyl, heterocycle, heteroaryl, sulfoalkyl, quaternary heterocycle, quaternary heteroaryl, quaternary heterocyclalkyl, quaternary heteroarylalkyl, guanidinyl, OR⁹, NR⁹R¹⁰, N⁺R⁹R¹¹R¹²A⁻, SR⁹, S(O)R⁹, 25 SO₂R⁹, SO₃R⁹, oxo, CO₂R⁹, CN, halogen, CONR⁹R¹⁰, SO₂OM, SO₂NR⁹R¹⁰, PO(OR¹⁶)OR¹⁷, P⁺R⁹R¹⁰R¹¹A⁻, S⁺R⁹R¹⁰A⁻, and C(O)OM,

25 wherein R¹⁶ and R¹⁷ are independently selected from the substituents constituting R⁹ and M; or R¹³ and R¹⁴, together with the nitrogen atom to which they are attached form a mono- or polycyclic heterocycle that is optionally substituted with one or 30 more radicals selected from the group consisting of oxo, carboxy and quaternary salts; or

R^{14} and R^{15} , together with the nitrogen atom to which they are attached, form a cyclic ring; and R^{30} is selected from the group consisting of alkyl, alkenyl, alkynyl, cycloalkyl, aryl, acyl, heterocycle, ammoniumalkyl, alkylammoniumalkyl, arylalkyl, carboxyalkyl, carboxyheteroaryl, carboxyheterocycle, carboalkoxyalkyl, carboxyalkylamino, heteroarylalkyl, heterocyclalkyl, and alkylammoniumalkyl; and

R^7 and R^8 are hydrogen; and

one or more R^x are independently selected from the group consisting of H, alkyl, alkenyl, alkynyl, polyalkyl, acyloxy, aryl, arylalkyl, halogen, haloalkyl, cycloalkyl, heterocycle, heteroaryl, polyether, quaternary heterocycle, quaternary heteroaryl, OR^{13} , $NR^{13}R^{14}$, SR^{13} , $S(O)R^{13}$, $S(O)_2R^{13}$, SO_3R^{13} , $S^+R^{13}R^{14}A^-$, $NR^{13}OR^{14}$, $NR^{13}NR^{14}R^{15}$, NO_2 , CO_2R^{13} , CN , OM , SO_2OM , $SO_2NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)NR^{13}R^{14}$, $NR^{14}C(O)R^{13}$, $C(O)OM$, COR^{13} , OR^{18} , $S(O)_nNR^{18}$, $NR^{13}R^{18}$, $NR^{18}OR^{14}$, $N^+R^9R^{11}R^{12}A^-$, $P^+R^9R^{11}R^{12}A^-$, amino acid, peptide, polypeptide, and carbohydrate,

wherein alkyl, alkenyl, alkynyl, cycloalkyl, aryl, polyalkyl, heterocycle, acyloxy, arylalkyl, haloalkyl, polyether, quaternary heterocycle, and quaternary heteroaryl can be further substituted with OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R , SO_3R^9 , oxo, CO_2R^9 , CN , halogen, $CONR^9R^{10}$, SO_2OM , $SO_2NR^9R^{10}$, $PO(OR^{16})OR^{17}$, $P^+R^9R^{11}R^{12}A^-$, $S^+R^9R^{10}A^-$, or $C(O)OM$, and

wherein R^{18} is selected from the group consisting of acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, and alkyl,

wherein acyl, arylalkoxycarbonyl, arylalkyl, heterocycle, heteroaryl, alkyl, quaternary heterocycle, and quaternary heteroaryl optionally are substituted with one or more substituents selected from the group consisting of OR^9 , NR^9R^{10} , $N^+R^9R^{11}R^{12}A^-$, SR^9 , $S(O)R^9$, SO_2R^9 ,

SO_3R^9 , oxo, CO_2R^9 , CN, halogen, $\text{CONR}^9\text{R}^{10}$, SO_3R^9 , SO_2OM , $\text{SO}_2\text{NR}^9\text{R}^{10}$, $\text{PO}(\text{OR}^{16})\text{OR}^{17}$, and $\text{C}(\text{O})\text{OM}$,

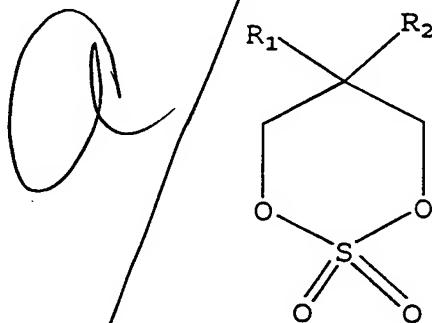
wherein in R^x , one or more carbons are optionally replaced by O, NR^{13} , $\text{N}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, S, SO, SO_2 , $\text{S}^+\text{R}^{15}\text{A}^-$, PR^{13} ,
5 $\text{P}(\text{O})\text{R}^{13}$, $\text{P}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, phenylene, amino acid, peptide, polypeptide, carbohydrate, polyether, or polyalkyl,

wherein in said polyalkyl, phenylene, amino acid, peptide, polypeptide, and carbohydrate, one or more carbons are optionally replaced by O, NR^9 , $\text{N}^+\text{R}^9\text{R}^{10}\text{A}^-$, S,
10 SO , SO_2 , $\text{S}^+\text{R}^9\text{A}^-$, PR^9 , $\text{P}^+\text{R}^9\text{R}^{10}\text{A}^-$, or $\text{P}(\text{O})\text{R}^9$;

wherein quaternary heterocycle and quaternary heteroaryl are optionally substituted with one or more groups selected from the group consisting of alkyl, alkenyl, alkynyl, polyalkyl, polyether, aryl,
15 haloalkyl, cycloalkyl, heterocycle, arylalkyl, halogen, oxo, OR^{13} , $\text{NR}^{13}\text{R}^{14}$, SR^{13} , $\text{S}(\text{O})\text{R}^{13}$, SO_2R^{13} , SO_3R^{13} , $\text{NR}^{13}\text{OR}^{14}$, $\text{NR}^{13}\text{NR}^{14}\text{R}^{15}$, NO_2 , CO_2R^{13} , CN, OM, SO_2OM , $\text{SO}_2\text{NR}^{13}\text{R}^{14}$, $\text{C}(\text{O})\text{NR}^{13}\text{R}^{14}$, $\text{C}(\text{O})\text{OM}$, COR^{13} , $\text{P}(\text{O})\text{R}^{13}\text{R}^{14}$, $\text{P}^+\text{R}^{13}\text{R}^{14}\text{R}^{15}\text{A}^-$,
20 $\text{P}(\text{OR}^{13})\text{OR}^{14}$, $\text{S}^+\text{R}^{13}\text{R}^{14}\text{A}^-$, and $\text{N}^+\text{R}^9\text{R}^{11}\text{R}^{12}\text{A}^-$; and

20 R^e is an electron-withdrawing group located at the para or ortho position.

336. The process of claim 335 wherein the cyclic sulfate has the formula:



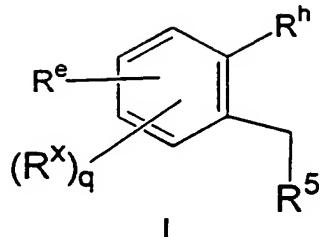
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XL

and the halobenzene has the formula:

SRL 6071
(C-3021/2)

664



wherein R^1 , R^2 , R^5 , R^x and R^e are as defined in claim 335, and R^h is halogen.

5 337. The process of claim 336 wherein the sulfate group is removed by treating the intermediate with a hydrolyzing agent.

10 338. The process of claim 337 wherein the hydrolyzing agent is a mineral acid.

15 339. The process of claim 336 wherein the hydrolyzing agent is selected from the group consisting of hydrochloric acid and sulfuric acid.

340. The process of claim 336 wherein the abstracting agent is a dialkali metal sulfide.

20 341. The process of claim 336 wherein the abstracting agent is dilithium sulfide.

342. The process of claim 336 wherein R^1 and R^2 are alkyl.

25 343. The process of claim 336 wherein R^1 and R^2 are selected from the group consisting of ethyl, n-butyl, iso-butyl and pentyl.

30 344. The process of claim 336 wherein R^1 and R^2 are n-butyl.

SRL 6071
(C-3021/2)

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345. The process of claim 336 wherein R^b is chloro.

5 346. The process of claim 336 wherein R^e is p-nitro.

10 347. The process of claim 336 wherein the alcohol is oxidized with an oxidizing agent to form a sulfone.

348. The process of claim 336 wherein the sulfone is oxidized with an oxidizing agent to form a sulfone-aldehyde.

15 349. The process of claim 336 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is a base having a pH between about 8 to about 9.

20 350. The process of claim 336 wherein the sulfone-aldehyde is cyclized with a cyclizing agent that is an alkali alkoxide base.

25 351. The process of claim 336 wherein the sulfone-aldehyde is cyclized with potassium tert-butoxide.

30 352. The process of claim 336 wherein the alcohol is oxidized with metachloroperbenzoic acid to form a sulfone; the aldehyde is oxidized with pyridinium chlorochromate to form a sulfone-aldehyde; and the sulfone-aldehyde is cyclized with potassium tert-butoxide.